

## Author Search

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FILE COVERS 1907 - 18 Mar 2009 VOL 150 ISS 12  
FILE LAST UPDATED: 17 Mar 2009 (20090317/ED)

HCplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

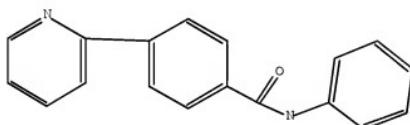
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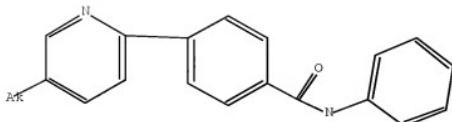
This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCPLUS' FILE

=> D STAT QUE L22  
L5 STR



Structure attributes must be viewed using STN Express query preparation.  
L8 787 SEA FILE=REGISTRY SSS FUL L5  
L11 109 SEA FILE=HCPLUS SPE=ON ABB=ON PLU=ON BEACHY P?/AU  
L12 57860 SEA FILE=HCPLUS SPE=ON ABB=ON PLU=ON CHEN J?/AU  
L13 17 SEA FILE=HCPLUS SPE=ON ABB=ON PLU=ON TAIPALE A?/AU  
L17 STR



Structure attributes must be viewed using STN Express query preparation.

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L19      243 SEA FILE=REGISTRY SUB=L8 SSS FUL L17
L20      7 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L19
L21      2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L20 AND (PRY<=2003 OR
          AY<=2003 OR PY<=2003)
L22      1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L11 OR L12 OR L13)
          AND L21
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=> FILE WPIX
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FILE LAST UPDATED:      17 MAR 2009 <20090317/UP>
MOST RECENT UPDATE:    200917 <200917/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
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>>> IPC and US National Classifications have been updated
with reclassifications to the end of 2008.
ECLA, F-Term and FI-Term classifications are complete
to the end of 2008.
No update date (UP) has been created for the reclassified
documents, but they can be identified by
specific update codes (see HELP CLA for details)<<
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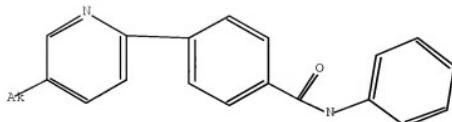
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>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<

'BI,ABEX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

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L13      17 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON TAIPALE A?/AU
L17      STR
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Structure attributes must be viewed using STN Express query preparation.

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 L26            1 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON (L11 OR L12 OR L13) AND  
 L25

=> DUP REM L22 L26  
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 PROCESSING COMPLETED FOR L22  
 PROCESSING COMPLETED FOR L26  
 L34            1 DUP REM L22 L26 (1 DUPLICATE REMOVED)  
 ANSWER '1' FROM FILE HCAPLUS

=> D IBIB ED ABS HITSTR 1

L34 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 1  
 ACCESSION NUMBER: 2005:324289 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:367707  
 TITLE: Hedgehog pathway antagonists for treatment of  
 proliferative disorders  
 Beachy, Philip A.; Chen, James K.;  
 Taipale, Anssi J.  
 INVENTOR(S):  
 PATENT ASSIGNEE(S): The Johns Hopkins University, USA  
 SOURCE: PCT Int. Appl., 129 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005033288	A2	20050414	WO 2004-US32482	20040929 <--
WO 2005033288	A3	20051013		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BV, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20070232661 A1 20071004 US 2007-573945 20070307 <--  
PRIORITY APPLN. INFO.: US 2003-507164P P 20030929 <--  
WO 2004-US32482 W 20040929

OTHER SOURCE(S): MARPAT 142:367707

ED Entered STN: 15 Apr 2005

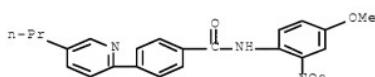
AB Aromatic compds. for treating various diseases and pathologies are disclosed. The methods for use of such compds. are also provided. Accordingly, the present invention makes available methods and compns. for inhibiting aberrant growth states resulting from hedgehog gain-of-function, ptc loss-of-function or smoothed gain-of-function.

IT 310452-52-9 310452-58-5 312603-57-9  
312755-58-1 313371-75-4 313561-16-9  
320741-88-6

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(aromatic compds. for treatment of cell proliferative disorders by  
inhibiting hedgehog signaling)

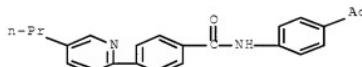
RN 310452-52-9 HCPLUS

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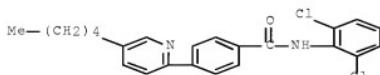
RN 310452-58-5 HCPLUS

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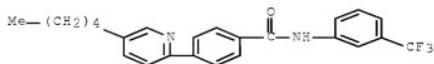


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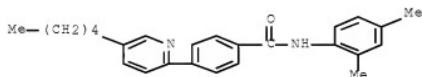
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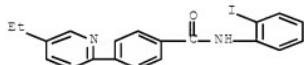
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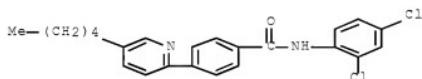
RN 313371-75-4 HCAPLUS  
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RN 313561-16-9 HCAPLUS  
 CN Benzamide, 4-(5-ethyl-2-pyridinyl)-N-(2-iodophenyl)- (CA INDEX NAME)



RN 320741-88-6 HCAPLUS  
 CN Benzamide, N-(2,4-dichlorophenyl)-4-(5-pentyl-2-pyridinyl)- (CA INDEX NAME)



In re Application of:

Beachy et al.

PATENT

Attorney Docket No.: JHU1920-1

Application No.: Not Yet Assigned

US Submission Date: March 29, 2006

Based on Intl Appl: PCT/US2004/032482

IA Filing Date: September 29, 2004

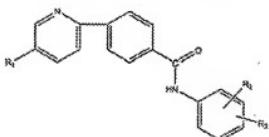
Page 3

**B. In the Claims**

Please amend claims 37, 42 to 45 and 56 without prejudice.

Upon entry of the present amendment, the claims will stand as follows in the present application:

1. (original) A compound having the structure (I):



(I)

wherein:

R<sub>1</sub> is an alkyl;

R<sub>2</sub> is a substituent selected from a group consisting of hydrogen, an alkyl, halogen, and an alkoxy group; and

R<sub>3</sub> is a substituent selected from a group consisting of an unsubstituted or substituted alkyl group, halogen, an alkoxy group, acetyl group, and nitro group,

or a pharmaceutically acceptable salt thereof.

GT6483656.1  
351223-519**Structure Search**

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FILE COVERS 1907 - 18 Mar 2009 VOL 150 ISS 12  
FILE LAST UPDATED: 17 Mar 2009 (20090317/ED)

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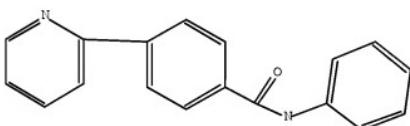
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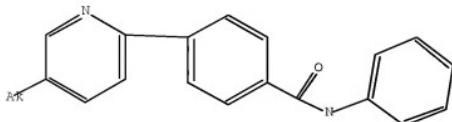
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=> D STAT QUE L21  
L5 STR



Structure attributes must be viewed using STN Express query preparation.  
L8 787 SEA FILE=REGISTRY SSS FUL L5  
L17 STR



Structure attributes must be viewed using STN Express query preparation.  
L19            243 SEA FILE=REGISTRY SUB=L8 SSS FUL L17  
L20            7 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L19  
L21            2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L20 AND (PRY<=2003 OR  
AY<=2003 OR PY<=2003)

=> S L21 NOT L22  
L35            1 L21 NOT L22

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FILE LAST UPDATED:            17 MAR 2009 <20090317/UP>  
MOST RECENT UPDATE:            200917 <200917/DW>  
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ECLA, F-Term and FI-Term classifications are complete  
to the end of 2008.  
No update date (UP) has been created for the reclassified  
documents, but they can be identified by  
specific update codes (see HELP CLA for details)<<<

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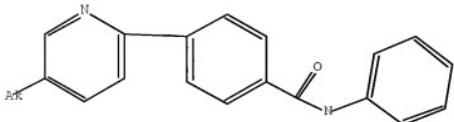
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=> D STAT QUE L25  
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Structure attributes must be viewed using STN Express query preparation.  
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L25            6 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON L24/DCR

=> S L25 NOT L26  
L36            5 L25 NOT L26  
  
=> S L36 AND (PRY<=2003 OR AY<=2003 OR PY<=2003)  
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L37            0 L36 AND (PRY<=2003 OR AY<=2003 OR PY<=2003)

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FILE CONTENT: 1961-PRESENT VOL 150 ISS 11 (20090313/ED)

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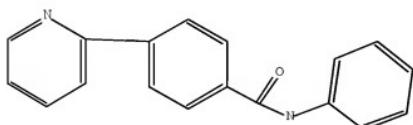
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090036430 05 FEB 2009  
DE 102008032375 22 JAN 2009  
EP 2018847 28 JAN 2009  
JP 2009021527 29 JAN 2009  
WO 2009020448 12 FEB 2009  
GB 2451190 21 JAN 2009  
FR 2918986 23 JAN 2009  
RU 2344817 27 JAN 2009  
CA 2631186 19 DEC 2008

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=> D STAT QUE L35  
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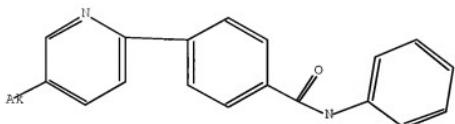
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L12 57860 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON CHEN J?/AU

L13 17 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON TAIPALE A?/AU

L17 STR



Structure attributes must be viewed using STN Express query preparation.

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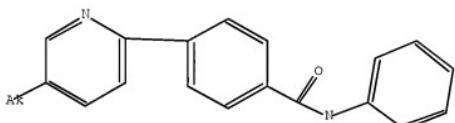
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L21 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L20 AND (PRY<=2003 OR  
AY<=2003 OR PY<=2003)L22 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L11 OR L12 OR L13)  
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L35 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L21 NOT L22

=&gt; D STAT QUE L33

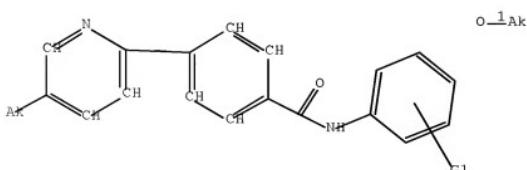
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L31 STR



G1 H, Ak, X, [01]

Structure attributes must be viewed using STN Express query preparation.  
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100.0% PROCESSED 58 ITERATIONS 35 ANSWERS  
SEARCH TIME: 00:00.01

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L37 HAS NO ANSWERS  
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PROCESSING COMPLETED FOR L35  
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L38 35 DUP REM L35 L37 L33 (1 DUPLICATE REMOVED)  
ANSWER '1' FROM FILE HCAPLUS  
ANSWERS '2-35' FROM FILE MAPAT

=> D IBIB ED ABS HITSTR 1; D IBIB AB QHIT 2-35

L38 ANSWER 1 OF 35 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 2004:546480 HCAPLUS Full-text  
DOCUMENT NUMBER: 141:89019  
TITLE: Substituted biphenyl-4-carboxylic acid arylamide  
analogues as V<sub>1</sub> receptors modulators  
INVENTOR(S): Bakthavatchalam, Rajagopal; Blum, Charles A.;  
Briemann, Harry; Darrow, James W.; De Lombaert,  
Stephanie; Yoon, Taeyoung; Zheng, Xiaozhang  
PATENT ASSIGNEE(S): Neurogen Corporation, USA  
SOURCE: PCT Int. Appl., 170 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

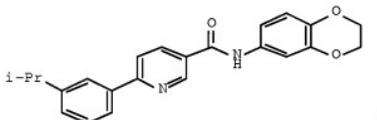
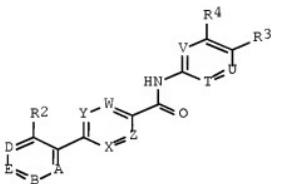
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 WO 2003-US40878 W 20031219 <--

OTHER SOURCE(S): MARPAT 141:89019

ED Entered STN: 08 Jul 2004

GI



AB The title compds. [such as I; A, B, D, E, W, X, Y, Z = CR1, N; T, U, V = CR8, N; R1 = halo, CN, NO2, etc.; R2 = NO2, CN, NHOH, etc.; R3, R4 = H, halo, alkyl, etc.; R8 = H, halo, OH, etc.] which are capable of modulating capsaicin receptor activity (biol. data given), are provided. E.g., the nicotinamide II was prepared starting from 3-isopropylphenylboronic acid, Me 6-chloronicotinate and 2,3-dihydrobenzo[1,4]dioxin-6-yamine. Such ligands may be used to modulate receptor activity in vivo or in vitro, and are particularly useful in the treatment of pain and other conditions associated with receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compns. and methods for treating such disorders are provided, as are methods for using such ligands for receptor localization studies.

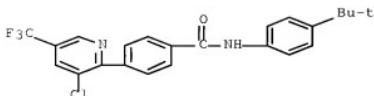
IT 717115-95-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted biphenyl-4-carboxylic acid arylamide analogs as VR1 receptors modulators for treating pain associated with various conditions)

RN 717115-95-2 HCPLUS

CN Benzanide, 4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-N-[4-(1,1-



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 2 OF 35 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 149:402379 MARPAT [Full-text](#)

TITLE: Preparation of benzamide derivatives for treating proliferative diseases

INVENTOR(S): Li, Shuxin; Liu, Yongxue; Zhao, Yanjin; Han, Chuguang; Kuang, Xianzhao; Huang, Linyi; Xiao, Wensong; Sun, Xiaomei; Deng, Xiaodong; Xue, Yang; Ye, Qingquan

PATENT ASSIGNEE(S): The Institute of Radiation Medicine, Academy of Military Medical Sciences, Pla, Peop. Rep. China

SOURCE: PCT Int. Appl., 77pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

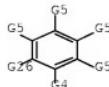
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

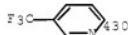
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008113255	A1	20080925	WO 2008-CN500	20080314
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:			CN 2007-10086587	20070316
			CN 2007-10143940	20070815

AB Title compds. [I; wherein X1 to X4 = independently H, halo, alkyl, etc.; Y = NH2 or OH; A = HC=CH or absent; B = (un)substituted (hetero)aryl, etc.], and their pharmaceutically acceptable salts thereof, were prepared. I are useful in the treatment of proliferative diseases, such as leukemia or solid tumor. Thus, the invention compound II was prepared and gave a HL60 inhibition GI50 value of 0.0434  $\mu$ M.

MSTR 1



G1 = 430



G2 = p-C<sub>6</sub>H<sub>4</sub>  
 G3 = C(O)  
 G26 = 12



Patent location: claim 1  
 Note: or pharmaceutically acceptable salts  
 Note: also incorporates claim 30

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 3 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 148:121478 MARPAT Full-text  
 TITLE: Biaryl compositions and methods for modulating a kinase cascade and their preparation  
 INVENTOR(S): Hangauer, David G., Jr.  
 PATENT ASSIGNEE(S): Kinx Pharmaceuticals, LLC, USA  
 SOURCE: PCT Int. Appl., 238pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008002676	A2	20080103	WO 2007-US15273	20070629
WO 2008002676	A3	20080502		
WO 2008002676	A9	20080703		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,

GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, ZA, ZM, ZW	
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA	
US 20070015752 A1 200700118	US 2006-480174 20060629
AU 2007265373 A1 20080103	AU 2007-265373 20070629
WO 2008127728 A1 20080123	WO 2008-US4847 20080414
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW	
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
PRIORITY APPLN. INFO.:	US 2006-480174 20060629 US 2007-923496P 20070413 US 2004-639834P 20041228 US 2005-704551P 20050801 US 2005-727341P 20051017 US 2005-321419 20051228 WO 2007-US15273 20070629

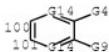
AB The invention relates to compds. of formula I and methods for modulating one or more components of a kinase cascade. Compds. of formula I wherein T is a bond, (un)substituted methylene, CO, O, S, SO, SO<sub>2</sub>, NH and derivs., etc.; X<sub>1</sub>, X<sub>4</sub>, X<sub>5</sub>, X<sub>6</sub>, and X<sub>7</sub> are independently CH, C-OH, N, NO, C-halo, C-SO<sub>3</sub>H, etc.; X<sub>2</sub> and X<sub>3</sub> are independently CZ, CY N, and NO; and at least one of X<sub>2</sub> and X<sub>3</sub> is CZ; Y is H, halo, Cl-6 alkyl, Cl-6 alkoxy, Cl-6 alkyl-aryl and OBr; Z is (un)substituted C<sub>0</sub>-2alkyl-CO-NH-CO-2alkyl(hetero)aryl; and their salts, hydrates, solvates and prodrugs thereof, are claimed. Example compound II was prepared by chlorination of biphenyl-4-acetic acid; the resulting biphenyl-4-acetyl chloride underwent amidation with 3-benzyloxybenzylamine hydrochloride to give the corresponding amide, which underwent debenzylation to give compound II. All the invention compds. were evaluated for their kinase cascade modulatory activity and tumor growth inhibition. From the assay, it was determined that compound II exhibited 83.1 % growth inhibition at 50 nM and a GI<sub>50</sub> value of 484 nM against HT-29. Compound II also exhibited 13.0 % growth inhibition at 100 nM and a GI<sub>50</sub> value of 53 nM against c-Scr 3T3.

MSTR 1

1<sup>62</sup>—3<sup>3</sup>—1<sup>42</sup>0



G3 = 101-162 100-142



G4 = 19

1G(O)-G5-G8

G5 = NH  
 G8 = Ph (opt. substd.)  
 G10 = alkyl <containing 1-6 C> (opt. substd. by G16)  
 G14 = N / 121

1G-G10

Patent location: claim 1  
 Note: or N-oxides, salts, solvates, hydrates, or prodrugs  
 Note: substitution is restricted  
 Note: additional substitution and heteroatom  
 interruptions also disclosed

L38 ANSWER 4 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 149:53718 MARPAT Full-text  
 TITLE: Aromatic 1,4-dicarboxylamides for treatment of Wnt  
 pathway-dependent diseases  
 INVENTOR(S): Garcia, Gabriel; Daram, Pierre; Froesch, Barbara;  
 Lemaillet, Guy; Scapozza, Leonardo  
 PATENT ASSIGNEE(S): The Genetics Company, Inc., Switz.  
 SOURCE: Eur. Pat. Appl., 28pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1932834	A1	20080618	EP 2006-25620	20061211
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,			

IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
BA, HR, MK, RS

WO 2008071397 A1 20080619 WO 2007-EP10829 20071211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,  
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,  
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,  
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,  
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,  
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,  
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2006-25620 20061211

AB The invention relates to compds. of formula I [X, Y, Z = C, N; n = 1-3; m = 0, 1; p = 0-6; R1, R2 = H, halogen, OH, Cl-3 alkyl, Cl-3 alkoxy; R3 = H, halogen, Cl-5 alkyl, carboxy, carbomethoxy, carboethoxy, benzyl, acyl, OH, Cl-4 alkoxy, CF3, CN, morpholino, 1,3-dioxolyl, N-acetylamo group, amido group, saturated 5-8 membered ring, heterocycle; R6 = H, part of alicyclic group, heteroalicyclic group; A = CF3, Cl-4 alkyl, group, CH2O, CH2, CH2CH2, CH2CH2CH2, bond between N-C or C-C; B = (substituted) Ph, pyridinyl, naphthyl, quinolinyl, isoquinolinyl, isoxazolinyl, thiophenyl, 1,3,4-thiadiazazolidinyl, furanyl, tetrahydroquinolinyl, tetrahydroisoquinolinyl, morpholinyl, furanyl, cyclohexenyl, chromen-2-on-yl]. The compds. of this invention are to be used as medicaments for the treatment of Wnt pathway-dependent diseases, such as cancer. Compound II was subjected to ELISA-based protein-protein interaction assay and showed advantageous results in terms of reactivity and specificity towards the  $\beta$ -Catenin/BCL9-BCL9L interaction.

MSTR 1



G1 = 18-1 22-36



G2 = N / 11



G4 = bond  
G5 = 742-738 741-2

H N 2 - T 6 1 0 )

G6 = 38-2 39-37

381038H

G15 = Ph (opt. substd. by (1-2) G17)

Patent location: claim 1

Note: additional ring formation also claimed

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 5 OF 35 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 147344070 MARPAT Full-text

TITLE: Preparation of substituted 1-phenyloctahydropyrrolo[3,4-b]pyrrole derivatives as histamine H3 receptor ligands

INVENTOR(S): Cowart, Marlon D.; Zhao, Chen; Sun, Minghua; Black, Lawrence A.; Zheng, Guo Zhu; Gregg, Robert J.; Zhang, Geoff G. Z.; Sheikh, Ahmad Y.; Lou, Xiaochun; Henry, Rodger F.; Barnes, David M.; Kolaczkowski, Lawrence; Haight, Anthony R.; Chang, Sou-Jen; Wittenberger, Steven J.; Fickes, Michael G.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 147pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

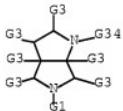
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007100990	A2	20070907	WO 2007-US62329	20070216
WO 2007100990	A3	20071018		
W:	AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20070232612	A1	20071004	US 2007-674518	20070213
AU 2007220889	A1	20070907	AU 2007-220889	20070216
CA 2641624	A1	20070907	CA 2007-2641624	20070216
EP 2001885	A2	20081217	EP 2007-757130	20070216
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,			

IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
IN 2008DN06853	A 20081024	IN 2008-DN6853	20080808
MX 2008010805	A 20080901	MX 2008-10805	20080822
NO 2008004056	A 20081027	NO 2008-4056	20080924
KR 2008106295	A 20081204	KR 2008-723374	20080924
PRIORITY APPLN. INFO.:		US 2006-776509P	20060224
		WO 2007-US62329	20070216

AB Title compds. I [R1 = alkyl, cycloalkyl, cycloalkylmethyl; R2-R7' = independently H, Me, fluoromethyl; R8-R11 = independently H, fluoro/alkyl, fluoro/thio/alkoxy, halo, CN, with the proviso that when  $\geq 1$  of R8-R11 = alkyl, then at least  $\geq 1$  of R8-R11 = fluoroalkyl, fluoro/thio/alkoxy, halo, CN; L1, L2 = independently a bond, O, S, CO, alkylene, alkylcarbonyl, alkylamino, NH and derivs., etc.; Cyl = (hetero)aryl, cycloalk(en)yl, heterocyclyl; Cy2' = Cyl, wherein the heteroaryl and heterocyclyl moiety has 1-3 heteroatoms selected from N, O, and S, provided that at least 1 heteroatom is N; with further proviso; and their pharmaceutically acceptable salts, esters, amides, prodrugs, and radiolabeled forms] were prepared as histamine H3 receptor ligands. Thus, reaction of (3aR,6aR)-5-methylhexahydropyrrolo[3,4-b]pyrrole (preparation given) with 4,4'-dibromobiphenyl in the presence of tris(dibenzylideneacetone)dipalladium, rac-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl and sodium tert-butoxide in toluene at 70° and heating of the bromide with 3(2H)-pyridazinone in the presence of copper and K2CO3 in quinoline at 150° gave octahydropyrrolo[3,4-b]pyrrole II. Crystal structure of two polymorphs of II L-tartrate monohydrate was determined. In a radioligand assay, preferred octahydropyrrolo[3,4-b]pyrroles I bound to histamine H3 receptors with binding affinities from about 0.5 nM to about 100 nM. I are useful for treating conditions or disorders prevented by or ameliorated by histamine-3 receptor ligands (no data).

MSTR 1



G5 = 45-12 46-282

 $^{45}\text{Cl}-\overline{\text{Cl}}(\text{O})$ 

G11	= NH
G12	= bond
G13	= 297-19 300-76

29

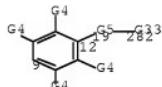
G14 = pyridyl (opt. substd. by CN)  
 G15 = 21

$\text{Z}_1^{\text{G}12} - \text{Z}_2^{\text{G}14}$

G33 = 20

$\text{Z}_0^{\text{G}13} - \text{Z}_6^{\text{G}15}$

G34 = 9



Patent location:

claim 1

Note: or pharmaceutically acceptable salts, esters, amides, prodrugs, or radiolabeled forms

Note: substitution is restricted

Note: also incorporates claim 16

L38 ANSWER 6 OF 35 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 146:482081 MARPAT Full-text

TITLE: (Hetero)aryl compounds with MCH antagonistic activity and medicaments comprising these compounds and their preparation and use in the treatment of metabolic and eating disorders

INVENTOR(S): Roth, Gerald Juergen; Mueller, Stephan Georg; Lehmann-Lintz, Thorsten; Stenkamp, Dirk; Lustenberger, Philipp; Kley, Joerg; Rudolf, Klaus; Heckel, Armin; Schindler, Marcus; Thomas, Leo; Lotz, Ralf R. H.

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.

SOURCE: PCT Int. Appl., 284pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007048802	A1	20070503	WO 2006-EP67750	20061025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,  
 KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,  
 MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,  
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,  
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GS, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 AU 2006307953 A1 20070503 AU 2006-307953 20061025  
 CA 2626747 A1 20070503 CA 2006-2626747 20061025  
 US 20070111981 A1 20070517 US 2006-552836 20061025  
 EP 1943231 A1 20080716 EP 2006-807530 20061025  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  
 IN 2008DN02526 A 20080725 IN 2008-DN2526 20080326  
 MX 2008004347 A 20080416 MX 2008-4347 20080401  
 CN 101296906 A 20081029 CN 2006-80040162 20080428  
 KR 2008066821 A 20080716 KR 2008-712542 20080526  
 PRIORITY APPLN. INFO.: EP 2005-110014 20051026  
 WO 2006-EP67750 20061025

**AB** The invention relates to (hetero)aryl compds. of general formula I. Compds. of formula I wherein R1 and R2 are independently H, (un)substituted C1-8 alkyl, and (un)substituted C3-8 cycloalkyl; R1R2 taken together to form a C3-8 alkylene bridge, wherein a CH2 group not adjacent to N may be replaced by heteroatom; R2 may be linked to Y by C1-3 alkylene bridge; X is (un)substituted C1-4 alkylene; Q and Z are independently CR3aR3b, O and NH and derivs.; Y and A are independently (un)substituted 5- to 6-membered (un)saturated aromatic carbocycle; R3a, R3b, R4a, R4b, R5a and R5b are independently H and 1-3 alkyl; when B is carbocycle and heterocycle and W is single bond, CH2, O, NH and derivs., OCH2, NHCH2 and derivs., CH2O, CH2NH and derivs., and CH2CH2; when B is halo, CN, C1-6 alkyl, C1-6 alkoxy, C2-6 alkenyl, etc., W is single bond; and their tautomers, diastereoisomers, enantiomers, and mixts. thereof, and pharmaceutically acceptable salts thereof, are claimed. Moreover the invention relates to pharmaceutical compns. containing at least one compound according to the invention. By virtue of their MCH-receptor antagonistic activity the pharmaceutical compns. according to the invention are suitable for the treatment of metabolic disorders and/or eating disorders, particularly obesity, bulimia, anorexia, hyperphagia and diabetes. Example compound II was prepared by arylation of 3-(4'-chlorobiphenyl-4-yl)propylamine with 1-(4-iodobenzyl)-4-methylpiperidine. All the invention compds. were evaluated for their MCH antagonistic activity. From the assay, it was determined that the tested compds. exhibited pKB values in the range of 10-10 to 10-5 M.

MSTR 1

q1—q2—q3—q4

G2 = alkylene <containing 4 or more C>  
 G3 = 193-2 190-4



77(0)-G45

G45      = 79

H<sub>2</sub>—G46

G46      = Ph  
 Patent location:  
 Note:  
 Note:  
 Note:  
 Stereochemistry:

claim 1  
 and tautomers and salts  
 substitution is restricted  
 additional derivatization also claimed  
 and diastereomers, enantiomers and mixtures

REFERENCE COUNT:      7      THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 7 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 146:274235 MARPAT [Full-text](#)  
 TITLE: Preparation of heterocyclic carboxylates as modulators of EDG/SIP receptor mediated signal transduction  
 INVENTOR(S): Gao, Wenqi; Wan, Yongqin; Jiang, Jiqing; Fan, Yi; Gray, Nathanael S.; Pan, Shifeng  
 PATENT ASSIGNEE(S): Irm LLC, Bermuda  
 SOURCE: PCT Int. Appl., 49pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007024922	A1	20070301	WO 2006-US32877	20060822
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JE, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,			

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM

AU 2006283175	A1 20070301	AU 2006-283175	20060822
CA 2619101	A1 20070301	CA 2006-2619101	20060822
EP 1917240	A1 20080507	EP 2006-813662	20060822

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
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JP 2009506046	T 20090212	JP 2008-528097	20060822
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IN 2008DN01434	A 20080808	IN 2008-DN1434	20080219
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MX 2008002540	A 20080314	MX 2008-2540	20080222
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KR 2008047410	A 20080528	KR 2008-706864	20080321
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CN 101291908	A 20081022	CN 2006-80038745	20080417
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PRIORITY APPLN. INFO.: US 2005-710781P 20050823  
WO 2006-US32877 20060822

OTHER SOURCE(S): CASREACT 146:274235

AB Title compds. e.g. [I; A = cyano, X1CO2R3, X1OP(O)(OR3)2, X1CON(R3)2,  
X1SO2R3, 1H-tetrazol-5-yl, etc.; B = CR4:CR5, CR4:N, S, NR4; X1 = bond,  
alkylene, alkenylene; R3 = H, alkyl; R4, R5 = H, halo, alkyl; Q = CR4, N; L =  
X2OX3, X2NR3X3, X2CONR3X3, X2NR3COX3, etc.; X2, X3 = bond, alkylenne,  
alkenylene; Y = bond, O, S, SO, SO2, NR3, CH2, CH2CH2; n = 0-3; R1 =  
(substituted) aryl, heteroaryl; R2 = halo, cyano, NO2, alkoxy, alkyl], were  
prepared. Thus, 5-[4-(2'-fluoro-2-trifluoromethylbiphenyl-4-  
yloxyethyl)phenyl]pyridine-2-carboxylic acid (preparation from Me 5-  
bromopicolinate, 4-hydroxymethylphenylboronic acid, 4-bromo-3-  
trifluoromethylphenol, and 2-fluorophenylboronic acid given) showed an EC50 =  
0.9 nM in a scintillation proximity assay for measuring GTP binding to  
membranes from CHO cells expressing human EDG-1 receptors.

MSTR 1

g1—g2—g3—g4

G2 = 157-1 158-3

<sup>1</sup>g4<sup>3</sup>—<sup>1</sup>g<sup>2</sup>—<sup>1</sup>g<sup>3</sup>

G3 = 16-2 18-4

<sup>1</sup>g<sup>7</sup>—<sup>1</sup>g(<sup>0</sup>)<sup>5</sup>—<sup>1</sup>g<sup>8</sup>

G4	= Ph (opt. subst. by (1-2) G33)
G7	= alkylenne <containing 1-3 C>
G8	= NH
G19	= phenylene (opt. subst. by 1 or more G20)
G23	= 241-1 238-158



G26 = 369

369—G25

Patent location: claim 1  
 Note: and pharmaceutically acceptable salts  
 Note: additional interruption also claimed  
 Note: substitution is restricted

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 8 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 146:162921 MARPAT Full-text  
 TITLE: Biaryl compositions and methods for modulating a kinase cascade, preparation, pharmaceutical compositions, and use in the treatment of diseases  
 INVENTOR(S): Hangauer, David G.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 156pp., Cont.-in-part of U.S. Ser. No. 321,419.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070015752	A1	20070118	US 2006-480174	20060629
US 20060160800	A1	20060720	US 2005-321419	20051228
US 7300931	B2	20071127		
US 20070197783	A1	20070823	US 2007-796200	20070426
AU 2007265373	A1	20080103	AU 2007-265373	20070629
WO 2008002676	A2	20080103	WO 2007-US15273	20070629
WO 2008002676	A3	20080502		
WO 2008002676	A9	20080703		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,				

BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA  
PRIORITY APPLN. INFO.:

US 2004-639834P	20041228
US 2005-704551P	20050801
US 2005-727341P	20051017
US 2005-321419	20051228
US 2006-480174	20060629
US 2007-923496P	20070413
WO 2007-US15273	20070629

AB The invention relates to compds. of formula I and methods for modulating one or more components of a kinase cascade. Compds. of formula I wherein T is CO, O, S, SO, CO<sub>2</sub>, (un)substituted methylene, NH and derivs. etc.; Y and Z are independently (un)substituted alkylcarbonylamine derivs., N, NO, CH, etc.; A, B, C, D, and E are independently CH, N, N-O, COH, etc.; and their pharmaceutically acceptable salts, solvates, hydrates, and prodrugs thereof, are claimed. Example compound II was prepared by hydrogenation of N-(3-benzyloxybenzyl)-biphenyl-4-acetamide. All the invention compds. were evaluated for their kinase modulatory activity (data given).

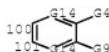
MSTR 1



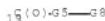
G1 = 163



G3 = 101-162 100-142



G4 = 19



G5	= NH
G8	= Ph (opt. substd.)
G10	= alkyl <containing 1-6 C> (opt. substd. by G16)
G14	= N / 121

151-910

Patent location: claim 1  
 Note: or N-oxides, salts, solvates, hydrates, or prodrugs  
 Note: substitution is restricted  
 Note: additional substitution and heteroatom  
 interruptions also disclosed

L38 ANSWER 9 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 145:124470 MARPAT Full-text  
 TITLE: Preparation of pyridine biaryls for use as anticancer agents and in treating cell proliferation disorders  
 INVENTOR(S): Hangauer, David G.  
 PATENT ASSIGNEE(S): Kinex Pharmaceuticals, LLC, USA  
 SOURCE: PCT Int. Appl., 117 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006071960	A2	20060706	WO 2005-US47333	20051228
WO 2006071960	A3	20070524		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 200521966	A1	20060706	AU 2005-321966	20051228
CA 2594345	A1	20060706	CA 2005-2594345	20051228
EP 1836169	A2	20070926	EP 2005-855828	20051228
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
JP 2008525530	T	20080717	JP 2007-549605	20051228
MX 2007007910	A	20080829	MX 2007-7910	20070627
IN 2007KN02504	A	20070824	IN 2007-KN2504	20070705
KR 2007099622	A	20071009	KR 2007-717102	20070724
CN 101184734	A	20080521	CN 2005-80048796	20070828
PRIORITY APPLN. INFO.:				
US 2004-639834P				
US 2005-704551P				
US 2005-727341P				
WO 2005-US47333				
AB	Pyridine biaryl derivs. I, wherein T is absent or linked with an alkyl, carbonyl, ether thio chain; X1-X7 are (un)substituted C, N, N-oxide; R1-R3 are independently H, OH, halogen, (un)substituted alkyl, (un)substituted aryl are prepared. Thus, II was prepared and displayed in vitro inhibition of colon			

tumor and lung cancer cells (GI50 105 nM for colon cells and 280 nM for lung cancer cells.). Further, I can be used in modulating tyrosine kinase inhibition and useful in the treatment cell proliferation disorders.

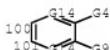
MSTR 1



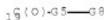
G1 = 163



G3 = 101-162 100-142



G4 = 19



G5 = NH  
 G8 = Ph (opt. substd.)  
 G10 = alkyl <containing 1-6 C> (opt. substd. by G16)  
 G14 = N / 121



Patent location:

claim 1

Note: or N-oxides, salts, solvates, hydrates, or prodrugs  
 Note: substitution is restricted  
 Note: additional substitution and heteroatom  
 interruptions also disclosed

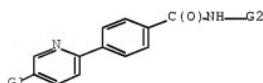
L38 ANSWER 10 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 142:367707 MARPAT Full-text  
 TITLE: Hedgehog pathway antagonists for treatment of

INVENTOR(S): proliferative disorders  
 Beachy, Philip A.; Chen, James K.; Taipale, Anssi J.  
 PATENT ASSIGNEE(S): The Johns Hopkins University, USA  
 SOURCE: PCT Int. Appl., 129 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005033288	A2	20050414	WO 2004-US32482	20040929
WO 2005033288	A3	20051013		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20070232661	A1	20071004	US 2007-573945	20070307
PRIORITY APPLN. INFO.:			US 2003-507164P	20030929
			WO 2004-US32482	20040929

AB      Aromatic compds. for treating various diseases and pathologies are disclosed. The methods for use of such compds. are also provided. Accordingly, the present invention makes available methods and compns. for inhibiting aberrant growth states resulting from hedgehog gain-of-function, ptc loss-of-function or smoothed gain-of-function.

MSTR 1



G1      = alkyl  
 G2      = Ph (opt. substd. by (1-2) G3)  
 Patent location:                    claim 1  
 Note:                                or pharmaceutically acceptable salts

L38 ANSWER 11 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 143:146731 MARPAT Full-text  
 TITLE: Combination therapy with 5-HT1A and 5-HT1B receptor antagonists for treatment of neuromuscular dysfunction of the lower urinary tract  
 INVENTOR(S): Leonardi, Amedeo; Guarneri, Luciano; Testa, Rodolfo  
 PATENT ASSIGNEE(S): Recordati Ireland Ltd., Ire.

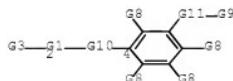
SOURCE: U.S. Pat. Appl. Publ., 41 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050165025	A1	20050728	US 2005-41086	20050121
WO 2005070460	A2	20050804	WO 2005-EP719	20050124
WO 2005070460	A3	20070208		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, RU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM RW: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, RU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1706147	A2	20061004	EP 2005-701178	20050124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				

PRIORITY APPLN. INFO.: US 2004-538738P 20040122  
 WO 2005-EP719 20050124

AB The invention describes the use of combinations of mols. endowed with antagonistic activity toward the serotonin 5-HT1A or 5-HT1B receptor, and of mols. simultaneously endowed with antagonistic activity at both receptors. These compds. and their enantiomers, diastereoisomers, N-oxides, polymorphs, solvates, prodrugs, and pharmaceutically acceptable salts are useful in the treatment of patients with neuromuscular dysfunction of the lower urinary tract. Also described are pharmaceutical compns. containing them. Also provided is a method of therapeutic treatment of urinary disorders in a mammal, including a human, comprising administering to the mammal, including human, in need of such treatment, a therapeutically effective amount of a composition according to the invention.

MSTR 12



G1 = phenylene (opt. substd. by (1) G2)  
 G3 = pyridyl (opt. substd. by (1-2) G4)  
 G4 = alkyl <containing 1-6 C> (opt. substd. by OH)  
 G10 = 22-2 23-4

22<sup>10</sup>23<sup>11</sup>

Patent location: claim 3  
 Note: or pharmaceutically acceptable salts, N-oxides,  
       crystalline forms, hydrates, solvates, active  
       metabolites, or prodrugs  
 Stereochemistry: or enantiomers or diastereomers

L38 ANSWER 12 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 143:106084 MARPAT Full-text  
 TITLE: Photopolymerizable nematic liquid crystal  
       compositions, their polymerized materials and  
       transparent compositions with good adhesion, and films  
       and optical retarders using them  
 INVENTOR(S): Hirai, Yoshiharu; Yanai, Motoki; Saegusa, Kazuhiko;  
                   Harufuji, Tatsuji  
 PATENT ASSIGNEE(S): Chisso Corp., Japan; Chisso Petrochemical Corporation  
 SOURCE: Jpn. Kokai Tokkyo Koho, 216 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005171235	A	20050630	JP 2004-331414	20041116
KR 2005048502	A	20050524	KR 2004-93918	20041117
US 20050213009	A1	20050929	US 2004-992565	20041119
US 7425354	B2	20080916		

PRIORITY APPLN. INFO.: JP 2003-388976 20031119  
 AB The polymerizable compns. comprise oxiranyl-containing compds. and oxetanyl-containing compds. The compds. are preferably selected from those having the oxiranyl (oxetanyl) group on one terminal, those having the groups on the both terminals, and those having the groups on the both terminals and a fluorene structure. The compns. may further contain chiral compds.

MSTR. 1A

g1—g3—g10—g25—g30

G10 = 152-2 153-4

182<sup>5</sup>—T<sup>216</sup>—

G14 = CH  
 G15 = 171-2 168-153



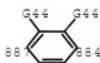
G16 = 262-152 263-4

~~262-7~~<sup>263-0</sup>

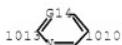
G17 = NH  
G25 = 874-3 875-5

~~874-6~~<sup>875-7</sup>

G26 = 887-3 884-875



G27 = 1013-874 1010-5



G30 = carbon chain <containing 2-30 C,  
0 or more double bonds, no triple bonds>  
Patent location: claim 2  
Note: additional interruptions of alkyl groups also  
claimed  
Note: substitution is restricted  
Note: also incorporates claim 3, structures 2-1 and 2-2

L38 ANSWER 13 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 142:392289 MARPAT Full-text  
TITLE: Preparation of (hetero)aryl amides as ion channel  
ligands  
INVENTOR(S): Kelly, Michael; Janagani, Satyanarayana; Wu, Guoxian;  
Kincaid, John  
PATENT ASSIGNEE(S): Renovis, Inc., USA  
SOURCE: Brit. UK Pat. Appl., 131 pp.  
CODEN: BAXXDU  
DOCUMENT TYPE: Patent

LANGUAGE : English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

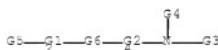
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2406856	A	20050413	GB 2004-22296	20041007
GB 2406856	B	20050109		
CA 2541299	A1	20050414	CA 2004-2541299	20041007
WO 2005032493	A2	20050414	WO 2004-US33403	20041007
WO 2005032493	A3	20050909		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2005034870	A2	20050421	WO 2004-US33099	20041007
WO 2005034870	A3	20050623		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050192293	A1	20050901	US 2004-962195	20041007
US 7338950	B2	20080304		
US 20050197364	A1	20050908	US 2004-961817	20041007
GB 2413129	A	20050109	GB 2005-9754	20041007
EP 1685109	A2	20060802	EP 2004-809516	20041007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004015167	A	20061128	BR 2004-15167	20041007
JP 2007525482	T	20070906	JP 2006-534432	20041007
MX 2006030949	A	20060627	MX 2006-3949	20060407
US 20080200524	A1	20080821	US 2007-982351	20071101
PRIORITY APPLN. INFO.:			US 2003-508865P	20031007
			US 2004-575937P	20040601
			GB 2004-22296	20041007
			US 2004-962195	20041007
			WO 2004-US33403	20041007

OTHER SOURCE(S): CASREACT 142:392289

AB Title compds. I [A = N, CR4, a carbon atom bound to L, or is not an atom; one of W, Z, B, Y, X = carbon atom bound to L if A is not an atom, another of W, Z, B, Y, X = carbon atom bound to G, and each of the remaining W, Z, B, Y and X is independently N or CR4; L = bond, (CH2)n; n = 1-3; G = CO, CS, SO2; R1 = alkyl, heteroalkyl, aryl, etc.; R2 = H, alkyl; R3 = alkyl, heteroalkyl, aryl, etc.; R4 = H, alkyl, etc.] are prepared. For instance, 4-(3-chloropyridin-2-yl)-N-(4-(trifluoromethyl)phenyl)benzamide (II) is prepared from 4-(3-chloropyridin-2-yl)benzoic acid (preparation given) and 4-

trifluoromethylaniline ( $\text{CH}_2\text{Cl}_2$ ,  $\text{CO}_2\text{C}_12$ , DMF). II did not significantly inhibit CYP2C9, CYP2D6 and CYP3A4 but exhibits inhibition for CYP2C19 ( $\text{IC}_{50} = 26.85 \mu\text{M}$ ) and CYP1A2 ( $\text{IC}_{50} = 97.45 \mu\text{M}$ ). I are useful in the treatment of pain, inflammation and traumatic injury.

MSTR 1



$\begin{array}{ll} \text{G1} & = (0-3) \text{ CH}_2 \\ \text{G2} & = \text{C}(\text{O}) \\ \text{G3} & = \text{Ph (opt. subst. by (1-5) G10)} \\ \text{G5} & = \text{pyridyl (opt. subst. by (1-4) G17)} \\ \text{G6} & = 27-2 \text{ } 24-4 \end{array}$



G11 = 28



$\begin{array}{ll} \text{G17} & = \text{alkyl (opt. subst.)} \\ \text{Patent location:} & \text{claim 1} \\ \text{Note:} & \text{or pharmaceutically acceptable salts, solvates or} \\ & \text{prodrugs} \\ \text{Stereochemistry:} & \text{and stereoisomers} \end{array}$

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 14 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 141:140459 MARPAT [Full-text](#)  
 TITLE: Preparation of sulfamides as anti-cancer agents  
 INVENTOR(S): Flynn, Daniel L.; Petrillo, Peter A.  
 PATENT ASSIGNEE(S): Deciphera Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 168 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 10  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004060305	A2	20040722	WO 2003-US41425	20031226
WO 2004060305	A3	20050210		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20040176395	A1	20040909	US 2003-746607	20031224
US 7279576	B2	20071009		
CA 2511840	A1	20040722	CA 2003-2511840	20031226
AU 2003303639	A1	20040729	AU 2003-303639	20031226
EP 1590344	A2	20051102	EP 2003-814980	20031226
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003017863	A	20051206	BR 2003-17863	20031226
CN 1756849	A	20060405	CN 2003-80110049	20031226
CN 1791596	A	20060621	CN 2003-80110048	20031226
JP 2006519765	T	20060831	JP 2005-508623	20031226
IN 2005CN01433	A	20070302	IN 2005-CN1433	20050628
MX 2005007237	A	20071115	MX 2005-7237	20050630
US 20090069310	A1	20090312	US 2006-450852	20060609
PRIORITY APPLN. INFO.:			US 2002-437304P	20021231
			US 2002-437403P	20021231
			US 2002-437415P	20021231
			US 2002-437487P	20021231
			US 2003-463804P	20030418
			US 2003-437804P	20030103
			US 2003-746460	20031224
			US 2003-746545	20031224
			US 2003-746607	20031224
			WO 2003-US41425	20031226

**AB** Sulfamides, such as I, were prepared for use as anticancer agents which act by modulating the activation states of abl or bcr-abl  $\alpha$ -kinase proteins. Thus, 4-HO2C6H4CH2NSO2NHCOR [R = pyrrolidino], prepared from 4-MeO2C6H4CH2NH2 and pyrrolidine, was treated with the pyrimidinylaminoaniline fragment to give I, which showed 10% inhibition of non-phosphorylated abl kinase at 10 $\mu$ M.

MSTR 1A



G1 = 9



G2 = 931



G3 = 20-8 21-2



G5 = NH  
 G10 = bond  
 G14 = phenylene  
 G17 = 339-3 342-5



G18 = carbon chain

Patent location:

claim 1

Note: substitution is restricted

Note: additional ring formation also claimed

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 15 OF 35 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 140:339324 MARPAT Full-text

TITLE: Preparation of antranilamide derivatives for controlling invertebrate pests

INVENTOR(S): Lahm, George Philip; Selby, Thomas Paul; Stevenson, Thomas Martin

PATENT ASSIGNEE(S): E.I. Du Pont De Nemours and Company, USA

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

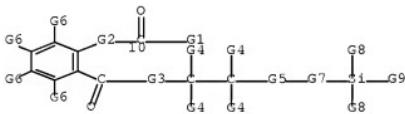
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033468	A1	20040422	WO 2003-US31677	20031001
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,			

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2003282711 A1 20040504 AU 2003-282711 20031001  
 EP 1546160 A1 20050629 EP 2003-774596 20031001  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 BR 2003014497 A 20050802 BR 2003-14497 20031001  
 CN 1703417 A 20051130 CN 2003-80100845 20031001  
 CN 100349901 C 20071121  
 JP 2006502226 T 20060119 JP 2004-543434 20031001  
 IN 2005DN00750 A 20090116 IN 2005-DN750 20050224  
 US 20060052343 A1 20060309 US 2005-527863 20050316  
 US 7211270 B2 20070501  
 MX 2005003337 A 20050705 MX 2005-3337 20050329  
 PRIORITY APPLN. INFO.: US 2002-416364P 20021004  
 US 2003-US31677 20031001

**AB** Title compds. I [wherein R = -U-A-V-B; U, V = independently (un)substituted alkylene; A = O, S(O)m, m = 0-2; B = trisubstituted silyl; J = (un)substituted Ph, pyrazolyl, pyrrolyl, pyridinyl, pyrimidinyl; R1 = independently (cyclo)alkyl, alkenyl, alkynyl, haloalkylsulfinyl, benzyl, etc.; R2 = H, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylaminocarbonyl, etc.; R3 = H, (cyclo)alkyl, alkenyl, alkynyl, alkoxy, (di)alkylamino, etc.; n = 0-4; and N-oxides or suitable salts thereof] were prepared as insecticides for controlling invertebrate pests. For example, reaction of 3-chloro-2(1H)-pyridinone hydrazone with di-Et maleate (55%), followed by bromination with phosphorus oxybromide (95%), gave Et 3-bromo-1-(3-chloro-2-pyridinyl)-4,5-dihydro-1H-pyrazole-5-carboxylate. Oxidation of the ester (90%) and hydrolysis (91%), afforded 3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazole-5-carboxylic acid. Reaction of the acid with methanesulfonyl chloride and 2-amino-3-methyl-5-chlorobenzoic acid (96%), followed by amidation with [1-[(trimethylsilylmethyl)thio]propan-2-yl]amine, provided II. The prepared I showed very good to excellent levels of plant protection (20% or less feeding damage) against diamondback moth and fall armyworm. This invention also pertains to a composition comprising at least one compound I and at least one addnl. component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent.

MSTR 1



G1 = 94

9<sub>4</sub>G27—G28

G2 = NH  
 G7 = bond  
 G17 = alkyl <containing 1-6 C>  
     (opt. subst. by 1 or more G16)  
 G27 = phenylene (opt. subst. by 1 or more G15)  
 G28 = pyridyl (opt. subst. by (1-3) G17)  
 Patent location: claim 1  
 Note: or salts or N-oxides

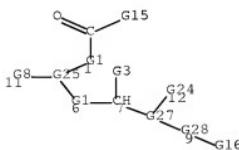
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 16 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 140:303540 MARPAT Full-text  
 TITLE: Preparation of 2-(biarylalkyl)amino-3-(fluoroalkanoylamino)pyridines as bradykinin B1 antagonists  
 INVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-Mei; Wai, Jenny Miu-Chun  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 25 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040063761	A1	20040401	US 2003-634966	20030805
PRIORITY APPLN. INFO.:			US 2002-401454P	20020806

AB The title compds. [I; X, Y = CH; or one of X and Y = CH and the other = N; R1, R2 = H, alkyl; R3 = H, alkyl, haloalkyl, substituted alkyl; R4 = H, NO2, halo, etc.; R5 = cycloalkyl substituted with 1-2 F atoms, CHF2, CH2CF3, C2F5, CH2CH2CF3; R61 = (un)substituted alkyl, cycloalkyl, halo, etc.; R62, R63 = H, R61 (with the proviso that not more than one of R61, R62 and R63 is heterocycle); R7 = H, CN, NO2, etc.], useful as bradykinin B1 antagonist compds. for the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin B1 pathway, were prepared and formulated. E.g., a 4-step synthesis of II, starting from 2-amino-4-methyl-3-nitropyridine and 2-fluoro-4-bromobenzyl bromide, was given.

MSTR 1



G12 = Ph

G14 = 60

~~G12~~

G16 = 100

~~G14~~

G27 = 251-7 254-9 252-12



G28 = phenylene (opt. substd. by (1) G29)

Patent location: claim 1

Note: substitution is restricted

Note: and pharmaceutically acceptable salts

L38 ANSWER 17 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 140:235608 MARPAT Full-textTITLE: Preparation of  
2-(biarylalkyl)amino-3-(cyanoalkanoylamino)pyridines  
as bradykinin B1 antagonists for treating pain and  
inflammationINVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-mei; Su,  
Dai-shi; Wai, Jenny Miu-chun

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp.  
CODEN: USXXCODOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

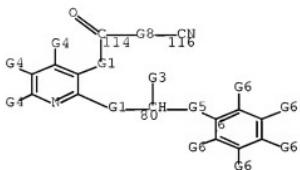
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040044041	A1	20040304	US 2003-634426	20030805
PRIORITY APPLN. INFO.:			US 2002-401386P	20020806

AB The title compds. [I; m = 1-4; X, Y = CH, or one is CH and the other is N; R1, R2 = H, alkyl; R3 = H, alkyl, haloalkyl, etc.; R4 = H, NO<sub>2</sub>, halo, etc.; R51, R52 = H, Me; or R51 and R52 together complete cycloalkyl ring; R61 = (un)substituted alkyl, cycloalkyl, alkenyl, etc.; R62, R63 = H, R61; with the proviso that not more than one of R61, R62 and R63 = heterocycle; R7 = H, alkyl, cycloalkyl, aryl, arylalkyl] which are bradykinin B1 antagonist compds. useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin B1 pathway, were prepared and formulated. E.g., a multi-step synthesis of II (starting from 4'-methyl-2-

biphenylcarboxylic acid), was given. The compds. I have affinity for B1 receptor with IC50 values of < 5  $\mu\text{M}$ .

MSTR 1



G4 = 155

 $^{12} \text{C} 8 - \text{G} 27$ 

G5 = 95-80 98-6



G6 = 12 / 21 / 35

 $^{12} \text{C} 16 - \text{G} 11$      $^{12} \text{C} (\text{O}) - \text{G} 15$      $^{13} \text{C} 17 - \text{G} 14$ 

G14 = Ph  
 G15 = 23

 $^{12} \text{C} 16 - \text{G} 14$ 

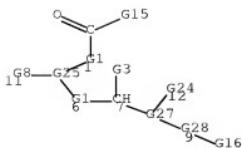
G16 = NH  
 G27 = 146

 $^{12} \text{C} 16 - \text{G} 11$

Patent location: claim 1  
 Note: substitution is restricted  
 Note: or pharmaceutically acceptable salts

L38 ANSWER 18 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 140:199328 MARPAT Full-text  
 TITLE: Preparation of  
 2-(biarylalkyl)amino-3-(alkanoylamino)pyridine  
 derivatives as bradykinin receptor B1 antagonists  
 INVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-mei; Wai,  
 Jenny Miu-chun  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 23 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040034064	A1	20040219	US 2003-634402	20030805
PRIORITY APPLN. INFO.:			US 2002-401462P	20020806
AB The title compds. (I) [both X and Y = CH, or one is CH and the other is N; R1, R2 = H, alkyl; R3 = H, (un)substituted alkyl; R4 = H, NO2, halo, etc.; R5 = alkyl, cycloalkyl, Me substituted with cycloalkyl, aryl, etc.; R6a = (un)substituted alkyl, cycloalkyl, halo, OCF3, etc.; R6b, R6c = H, R6a (with the proviso that not more than one of R6a, R6b, and R6c is a heterocycle); R7 = H, CN, NO2, halo, etc.] or pharmaceutically acceptable salts thereof are prepared and formulated. E.g., a multi-step synthesis of II (starting from 4'-methyl-2-biphenylcarboxylic acid), was given. The compds. I are bradykinin receptor B1 antagonists [IC50 of < 5 $\mu$ M] and useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin receptor B1 pathway. More specifically these symptoms include (1) osteoarthritis, repetitive motion pain, dental pain, cancer pain, myofascial pain, muscular injury pain, fibromyalgia pain, and perioperative pain and (2) inflammatory pain caused by chronic obstructive pulmonary disease, asthma, inflammatory bowel disease, rhinitis, pancreatitis, cystitis (interstitial cystitis), uveitis, inflammatory skin disorders, rheumatoid arthritis, edema resulting from trauma associated with burns, sprains or fracture, postsurgical intervention, osteoarthritis, rheumatic disease, tenosynovitis, or gout, (3) pain associated with angina or menstruation, and (4) pain caused by pneumoconiosis, including aluminosis, anthracosis, asbestososis, chalcosis, ptilosis, siderosis, silicosis, tabacosis, byssinosis, adult respiratory distress syndrome, bronchitis, allergic rhinitis, vasomotor rhinitis, liver disease, multiple sclerosis, atherosclerosis, Alzheimer's disease, septic shock, cerebral edema, headache, migraine, closed head trauma, irritable bowel syndrome, or nephritis. These compds. are also useful for the treatment of diabetic vasculopathy, post capillary resistance, diabetic symptoms associated with insulitis, psoriasis, eczema, spasms of the gastrointestinal tract or uterus, Crohn's disease, ulcerative colitis, or pancreatitis.				



G12 = Ph  
G14 = 60



G16 = 100



G27 = 251-7 254-9 252-12



G28 = phenylene (opt. subst. by (1) G29)

Patent location: claim 1  
Note: substitution is restricted  
Note: and pharmaceutically acceptable salts

L38 ANSWER 19 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 140:163877 MARPAT [Full-text](#)  
TITLE: Preparation of  
2-(biarylalkyl)amino-3-  
(heterocyclylcarbonylamino)pyridine derivatives as  
bradykinin receptor B1 antagonists  
INVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-Mei; Wai,  
Jenny Miu-Chun  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 20 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20040029920

A1 20040212

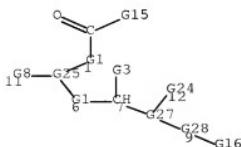
US 2003-634401 20030805

PRIORITY APPLN. INFO.:

US 2002-401396P 20020806

AB The title compds. (I) [X = Y = CH, or one is CH and the other is N; R<sub>1</sub>, R<sub>2</sub> = H, C1-4 alkyl; R<sub>3</sub> = H, (un)substituted C1-4 alkyl; R<sub>4</sub> = H, nitro, halogen, (CH<sub>2</sub>)nORA, (CH<sub>2</sub>)nCO<sub>2</sub>R<sub>a</sub>, (CH<sub>2</sub>)nCN, (CH<sub>2</sub>)nNRbRc, (CH<sub>2</sub>)nNHC(O)CH<sub>2</sub>CN, CONRbRc, C1-4 alkyl; R<sub>5</sub> = tetrahydrofuran-1, 2-oxo-4-azetidinyl, (un)substituted heteroaryl; R<sub>6A</sub> = (un)substituted C1-8 alkyl, C3-8 cycloalkyl, (un)substituted C2-8, halogen, OCF<sub>3</sub>, cyano, nitro, NRbRc, NRbC(O)Ra, NRbCO<sub>2</sub>R<sup>a</sup> (wherein Ra' is a nonhydrogen group selected from Ra), CO<sub>2</sub>R<sub>a</sub>, COR<sub>a</sub>, CONRbRc, CONHORA, ORa, OC(O)Ra, S(O)nRa', SO<sub>2</sub>NHRC, NHSO<sub>2</sub>Rd, C(:NORa)Ra, (un)substituted heterocyclyl; R<sub>6b</sub>, R<sub>6c</sub> = H, a group from R<sub>6A</sub>; with the proviso that not more than one of R<sub>6A</sub>, R<sub>6b</sub>, and R<sub>6c</sub> is a heterocycle; R<sub>7</sub> = H, cyano, nitro, halogen, ORa, CO<sub>2</sub>R<sub>a</sub>, CONRbRc, C1-4 alkyl; Ra = H, C1-4 alkyl, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; Rb,Rc = H, C1-4 alkyl optionally substituted with ORa, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; or NRbRc together forms a 5- or 6-membered ring optionally containing a heteroatom selected from NRA, O and S; Rd = C1-4 alkyl optionally substituted with 1 to 3 halogen atoms, aryl, aryl-C1-4 alkyl, NRbRc; n = 0, 1, 2] or pharmaceutically acceptable salts thereof are prepared Compds. disclosed herein, e.g. N-[2-[(1R)-1-(2-cyano-3-fluoro-1,1'-biphenyl-4-yl)ethyl]amino]-4-methylpyridin-3-yl]isoxazole-5-carboxamide (II), are bradykinin receptor B1 antagonist compds. and useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin receptor B1 pathway. More specifically these symptoms include (1) osteoarthritis, repetitive motion pain, dental pain, cancer pain, myofascial pain, muscular injury pain, fibromyalgia pain, and perioperative pain and (2) inflammatory pain caused by chronic obstructive pulmonary disease, asthma, inflammatory bowel disease, rhinitis, pancreatitis, cystitis (interstitial cystitis), uveitis, inflammatory skin disorders, rheumatoid arthritis, edema resulting from trauma associated with burns, sprains or fracture, postsurgical intervention, osteoarthritis, rheumatic disease, tenosynovitis, or gout, (3) pain associated with angina or menstruation, and (4) pain caused by pneumoconiosis, including aluminosis, anthracosis, asbestosis, chalcosis, piliosis, siderosis, silicosis, tabacosis, byssinosis, adult respiratory distress syndrome, bronchitis, allergic rhinitis, vasomotor rhinitis, liver disease, multiple sclerosis, atherosclerosis, Alzheimer's disease, septic shock, cerebral edema, headache, migraine, closed head trauma, irritable bowel syndrome, or nephritis. These compds. are also useful for the treatment of diabetic vasculopathy, post capillary resistance, diabetic symptoms associated with insulitis, psoriasis, eczema, spasms of the gastrointestinal tract or uterus, Crohn's disease, ulcerative colitis, or pancreatitis.

MSTR 1



G12 = Ph  
 G14 = 60

BN—G12

G16 = 100

CO—G14

G27 = 251-7 254-9 252-12



G28 = phenylene (opt. subst. by (1-2) G29)

Patent location: claim 1

Note: substitution is restricted

Note: and pharmaceutically acceptable salts

L38 ANSWER 20 OF 35 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 138:122639 MARPAT Full-text

TITLE: Preparation of thiazoles and related compounds as telomerase inhibitors

INVENTOR(S): Friecke, Henning; Kauffmann-Hefner, Iris; Hauel, Norbert; Damm, Klaus; Schnapp, Andreas

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003006443	A2	20030123	WO 2002-EP7558	20020706
WO 2003006443	A3	20030501		
W:	AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GN, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10133665	A1	20030130	DE 2001-10133665	20010711

AU 2002328323	A1 20030129	AU 2002-328323	20020706
US 20030055263	A1 20030320	US 2002-192456	20020710
PRIORITY APPLN. INFO.:		DE 2001-10133665	20010711
		US 2001-307449P	20010724
		WO 2002-EP7558	20020706

AB Title compds. R1-A-B-R2 (I) [ R1 = (un)substituted Ph, phenylalkyl, phenylalkenyl, etc.; A = (un)substituted phenylalkyl; B = HN, NHCO, CONH, etc.; R2 = CO<sub>2</sub>, (un)substituted cycloalkyl, cycloalkenyl, etc.] and their pharmaceutically acceptable salts were prepared. For example, coupling of thiazol II and phthalic anhydride afforded claimed benzoic acid III in 30% yield. In telomerase inhibition studies, 3-specific examples of I exhibited IC<sub>50</sub> values ranging from < 1 - < 5 μM, e.g., IC<sub>50</sub> value of compound III was < 5 μM. Compds. I are claimed useful as telomerase inhibitors.

MSTR 1

G1—27—G8—G11

G1 = pyridyl (opt. substd. by alkyl <containing 1-3 C>  
 G7 = phenylene (opt. substd. by alkyl <containing 1-3 C>  
 )  
 G8 = 106-2 107-4

G9 = O  
 G10 = NH  
 G11 = Ph (substd. by 1 or more G12)  
 Patent location: claim 1  
 Note: and salts  
 Stereochemistry: and isomers

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 21 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 137:294873 MARPAT Full-text  
 TITLE: Preparation of pyridyl- and phenylbenzamides as factor Xa inhibitors for treatment of coagulation disorders  
 INVENTOR(S): Zhu, Bin-Yan; Zhang, Penglie; Goldman, Erick A.; Jia, Zhaozhing Jon; Bauer, Shawn; Huang, Wenrong; Woolfrey, John; Scarborough, Robert M.  
 PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 325 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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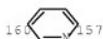
WO 2002079145	A1	20021010	WO 2002-US10523	20020401
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002257112	A1	20021015	AU 2002-257112	20020401
US 20030069250	A1	20030410	US 2002-115135	20020401
US 7312235	B2	20071225		
EP 1373194	A1	20040102	EP 2002-726698	20020401
EP 1373194	B1	20070801		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
AT 368643	T	20070815	AT 2002-726698	20020401
PRIORITY APPLN. INFO.:			US 2001-279696P	20010330
			WO 2002-US10523	20020401

AB Title compds. I [wherein Ar1-Ar3 = independently (un)substituted Ph, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, or thiophenyl; L1 = direct link, (alkyl)-0-2aminocarbonyl, or (alkyl)1-2amino; L2 = (alkyl)-0-2aminocarbonyl or (alkyl)1-2amino; A = (un)substituted Ph, pyridinyl, imidazolyl, aminoiminomethyl, azacyclyl, guanidyl, etc.; and pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrugs thereof] were prepared. For example, reaction of 2-amino-5-chloropyridine with 5-bromoisoatoic anhydride in the presence of lithium bis(trimethylsilyl)amide in anhydrous THF gave 2-amino-5-bromo-N-(5-chloro-2-pyridyl)benzamide (73.6%). Treatment with Pd(PPh<sub>3</sub>)<sub>4</sub>, CuI, and (trimethylsilyl)acetylene in BuNH<sub>2</sub> afforded the 2-amino-5-(trimethylsilylacetylenyl)benzamide derivative (91%). Amidation with 4-cyano-2-fluorobenzoic acid (94%), followed by deprotection with tert-butylammonium fluoride in THF (100%), afforded II (R = CN). The nitrile was converted to the title compound II [R = C(:NH)NMe<sub>2</sub>] by addition NHMe<sub>2</sub> in the presence of 10% TEA/pyridine and MeI in anhydrous acetone. I have activity against mammalian factor Xa and are useful in vitro or in vivo for preventing or treating coagulation disorders (no data).

MSTR 1B



G1 = 160-1 157-4



G2 = phenylene

G3 = Ph (opt. substd. by (1-2) G24)  
 G5 = 151-4 152-6 / 339-4 340-6 / 344-4 343-6

1510-1527 339-3407 344-3436

G6 = 41

416-417

G16 = alkylene <containing 1-12 C>

G37 = NH

Patent location: claim 1  
 Note: and pharmaceutically acceptable salts, hydrates,  
 solvates and prodrug derivatives  
 Stereochemistry: and isomers

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 22 OF 35 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 136:279349 MARPAT [Full-text](#)

TITLE: Preparation of novel quaternary amine containing benzamides as inhibitors of factor Xa

INVENTOR(S): Zhang, Penglie; Zuckett, Jingmei Fan; Bao, Liang; Scarborough, Robert M.; Zhu, Bing-yan

PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026712	A2	20020404	WO 2001-US42352	20011001
WO 2002026712	A3	20021017		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG			
AU 2002014626	A	20020408	AU 2002-14626	20011001
US 20040067938	A1	20040408	US 2003-381925	20031103
PRIORITY APPLN. INFO.:			US 2000-236330P	20000929
			WO 2001-US42352	20011001

AB The title compd. AQDEGJZ [I; A = R1aR1bR1cN+; R1a, R1b, R1c = alkyl, haloalkyl, cycloalkyl, etc.; Q = a direct link, CH2; D = (un)substituted phenylene, naphthylene, etc.; E = a direct link, CH2, CONH, etc.; G = (un)substituted phenylene, etc.; J = a direct link, CONH, O, etc.; Z =

(un)substituted Ph, naphthyl, pyridyl, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis, were prepared. Thus, reacting 4-(chloromethyl)benzoyl chloride with 4-chloro-2-(5-chloro-2-pyridyl)aminocarbonylaniline in THF (91%) followed by treatment of the resulting N-(5-chloro-2-pyridyl)-2-(4-chloromethylphenylcarbonyl)amino-5-chlorobenzamide with Me3N in iso-Pr/H2O (68%) afforded II.

MSTR 1

G2—G5—G6—G7—G16—G20—G21—G23

G6 = bond  
 G7 = phenylene  
 G16 = 47-3 48-5



G18 = C(O)  
 G20 = phenylene (opt. subst.)  
 G21 = bond  
 G23 = 159



G24 = alkyl <containing 1-6 C>  
 G31 = N  
 Patent location: claim 1  
 Note: and pharmaceutically acceptable salts, hydrates,  
 solvates and prodrug derivatives  
 Stereochemistry: and pharmaceutically acceptable isomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 23 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 136:85809 MARPAT Full-text  
 TITLE: Preparation of heteroarylphenyl substituted factor Xa  
 inhibitors for treatment of thromboembolic disorders  
 INVENTOR(S): Pinto, Donald J. P.; Quan, Mimi L.; Woerner, Francis J.  
 PATENT ASSIGNEE(S): DuPont Pharmaceuticals Company, USA  
 SOURCE: PCT Int. Appl., 146 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000647	A1	20020103	WO 2001-US20112	20010622
W: AE, AG, AL, AM, AT, AU, AZ, CR, CU, CZ, DE, DK, DM, DZ, HU, ID, IL, IN, IS, JP, KE, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			BA, BB, BG, BR, BY, BZ, CA, CH, CN, EE, ES, FI, GB, GD, GE, GH, GM, HR, KG, KP, KR, KZ, LC, LK, LR, LS, LT, SD, SL, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
CA 2409762	A1	20020103	CA 2001-2409762	20010622
US 20020103202	A1	20020801	US 2001-887936	20010622
US 6599926	B2	20030729		
EP 1296977	A1	20030402	EP 2001-946698	20010622
R: AT, BE, CH, DE, DK, ES, FR, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			GB, GR, IT, LI, LU, NL, SE, MC, PT,	
JP 2004501913	T	20040122	JP 2002-505771	20010622
PRIORITY APPLN. INFO.:			US 2000-214033P	20000623
			WO 2001-US20112	20010622

AB Title compds. I, II, and III [wherein ring D1 = pyridine, pyrazine, pyridazine, or pyrimidine substituted with 1 Ra and 0-1 Rb; ring D2 = 5-membered heteroarom. ring substituted with 1 Ra and 0-1 Rb; E = O, 3S, or NRC; ring D3 = 5-membered heteroarom. ring substituted with 1 Ra and 0-1 Rb; R, Ra, and Rb = H, alkyl, halo, OH, alkoxy, CN, (un)substituted carboximidamido, (alkyl)amino, OCF3, etc.; Rc = H, alkyl, alkoxy, (un)substituted (alkyl)amino, OCF3, etc.; G = absent or (CH2)1-3, (CH2)0-2CO(CH2)0-2, (CH2)0-2O(CH2)0-2, (CH2)0-2NH(CH2)0-2, (CH2)0-2SOp(CH2)0-2, etc.; p = 0-2; G1 = (un)substituted (CH2)1-5, (CH2)0-2CH=CH(CH2)0-2, (CH2)0-2C.tplbond.C(CH2)0-2, (CH2)uCO(CH2)w, (CH2)uOCO(CH2)w, (CH2)uCO2(CH2)w, (CH2)uNH(CH2)w, etc.; u + w = 0-4; G2 = Ph, naphthyl, or heteroaryl; M = isoxazoline, pyrazoline, isothiazoline, triazolone tetrazoline, Ph, or substituted 5-6 membered heteroaryl; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as factor Xa inhibitors. For example, HCl gas was bubbled through 1-(3-cyanophenyl)-3-trifluoromethyl-5-[(2'-sulfonylmethyl-[1,1']-biphen-4-yl)aminocarbonyl]pyrazole in anhydrous EtOH to afford the ethoxyimide intermediate. Addition of N-methylmorpholine to the crude product in dioxane, followed by cyclization with semicarbazide•HCl, gave the pyrazolamide IV. Some of the invention compds. inhibited factor Xa with Ki values of  $\leq 10 \mu\text{M}$ . Thus, I are useful as anticoagulants for the treatment of thromboembolic disorders (no data).

MSTR 1A

G2—g11—g5—g12—Zg3—Zg4—Zg5—Zg6

292-Z710)

G8 = NH (opt. substd.)  
 G11 = phenylene (opt. substd. by 1 or more G21)  
 G12 = phenylene (opt. substd.)  
 G14 = bond  
 G16 = 520-203 523-210



G17 = 211

291-Z919

G18 = alkylene <containing 1 or more C>  
 (opt. substd. by 1 or more G20)  
 Patent location: claim 1  
 Note: or pharmaceutically acceptable salts  
 Note: additional ring formation and substitution also  
 claimed  
 Note: substitution is restricted  
 Stereochemistry: or stereoisomers

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 24 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 136:93565 MARPAT Full-text  
 TITLE: Polymerizable nematic liquid crystalline compositions  
 having high  $\Delta n$  and showing large change in  
 alignment by light and their color filters and thinner  
 optical films  
 INVENTOR(S): Ichihashi, Mitsuyoshi  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002003845	A	20020109	JP 2000-191114	20000626
US 20020018863	A1	20020214	US 2001-874004	20010606
US 6645397	B2	20031111	JP 2000-191114	20000626

PRIORITY APPLN. INFO.:

AB The liquid crystalline compns. contain  $\geq 1$  compds. shown as R1Ar1n1C.tpbond.CAr2n2L1Ar3R2 or R3Ar4n3L2Ar5n4C.tpbond.CAr6R4 [I and II; R1-R4 = O(CH<sub>2</sub>)<sub>n</sub>X; X = (meth)acryloyloxy, epoxy; L1, L2 = C.tpbond.C, CO<sub>2</sub>, OC(O), CH:CH, NHCO, C(O)NH; Ar1, Ar3, Ar4, Ar6 = p-C<sub>6</sub>H<sub>4</sub>, p-C<sub>6</sub>H<sub>4</sub>C<sub>6</sub>H<sub>4</sub>, 1,5-C<sub>10</sub>H<sub>6</sub>; Ar2, Ar5 = p-C<sub>6</sub>H<sub>4</sub>, p-C<sub>6</sub>H<sub>4</sub>C<sub>6</sub>H<sub>4</sub>, 1,5-C<sub>10</sub>H<sub>6</sub>, p-C<sub>6</sub>H<sub>4</sub>C<sub>6</sub>H<sub>4</sub>C<sub>6</sub>H<sub>4</sub>; 1 or 2 C of the benzene ring of Ar2 and Ar5 may be replaced by N; C rings of Ar1-Ar6 may be substituted with  $\geq 1$  of C, F, Cl, Br, CF<sub>3</sub>, OCF<sub>3</sub>, OCHF<sub>2</sub>, Me, COMe; n1-n4 = 0, 1; n = 2-15] and chiral compds. whose structure will change by light. The color filter is obtained by exposing a layer containing the composition to actinic light, irradiation strength being varied from place to place, maybe by using a photomask enabling the irradiation as such, to form regions whose selective reflections are varied from each other. Also disclosed herein is a color filter having a red, green, and blue layers fixed by alignments of I or II. Also disclosed herein is a color filter wherein I or II are aligned in helical pitches different from each other to form red, green, and blue layers; each layers are colored by circularly polarized light reflection derived from the helical pitches. The color filters have high reflectance and enable bright image displays. The optical film such as a compensator is obtained by irradiating actinic light to a layer containing the liquid crystalline composition to polymerize at least the compound shown as I or II.

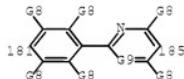
MSTR 2



G1 = 18



G4 = p-C<sub>6</sub>H<sub>4</sub> (opt. substd. by 1 or more G10)  
 G7 = 181-1 185-3



G9 = 276



G11 = 366-2 321-4



G12 = 324-367 325-2

324-367-250)

G14 = NH  
 Patent location: claim 1

L38 ANSWER 25 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 135:357943 MARPAT Full-text  
 TITLE: Preparation of 2-(aminomethyl or heterocyclimethyl)-6-aminoquinoline and -naphthalene derivatives as melanin concentrating hormone antagonists  
 INVENTOR(S): Ishihara, Yuji; Suzuki, Nobuhiro; Takekawa, Shiro  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 223 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001082925	A1	20011108	WO 2001-JP3614	20010426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407149	A1	20011108	CA 2001-2407149	20010426
AU 2001052596	A	20011112	AU 2001-52596	20010426
EP 1285651	A1	20030226	EP 2001-925947	20010426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002241274	A	20020828	JP 2001-132357	20010427
US 20040077628	A1	20040422	US 2002-258492	20021024
US 6930185	B2	20050816		
PRIORITY APPLN. INFO.:				
AB	Melanin concentrating hormone (MCH) antagonists containing compds. of the general formula Ar1-X-Ar-Y-NR1R2 or salts thereof (wherein Ar1 is an optionally substituted cyclic group; X and Y are each independently a spacer having a C1-6 main chain; Ar is an optionally substituted fused polycyclic aromatic ring; R1 and R2 are each independently hydrogen or an optionally substituted hydrocarbon group, or alternatively R1 and R2 together with the nitrogen atom adjacent thereto may form a nitrogenous heterocycle, or R2 together with the nitrogen atom adjacent thereto and Y may form an optionally			
			JP 2000-134295	20000428
			JP 2000-384897	20001213
			WO 2001-JP3614	20010426

substituted nitrogenous heterocycle, or R2 together with the nitrogen atom adjacent thereto, Y, and Ar may form a fused ring) are described. They are appetite depressants and useful as preventive or therapeutic drugs for diseases caused by melanin concentrating hormone, in particular obesity.

Thus, tert-Bu

6-(N,N-dimethylaminomethyl)-2-naphthylcarbamate (preparation given) was treated with CF<sub>3</sub>CO<sub>2</sub>H and condensed with 4'-chloro-1,1'-biphenyl-4-carboxylic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of 4-dimethylaminopyridine in DMF at room temperature for 16 h to give 4'-chloro-N-[6-(N,N-dimethylaminomethyl)-2-naphthyl]-1,1'-biphenyl-4-carboxamide (I). I in vitro inhibited the binding of [<sup>35</sup>S]-guanosine 5'-( $\gamma$ -thio)triphosphate to CHO cell line expressing the MCH receptor, i.e. the orphan G protein-coupled receptor SLC-1, with IC<sub>50</sub> of 5 nM. A tablet formulation containing I was described.

MSTR 1

g1—g2—g3

G1 = 23

g15—g16

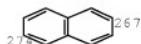
G2 = 15-1 16-3

g8(0)g10

G3 = 4

g21—g5—g6

G10	= NH
G12	= alkyl <containing 1-6 C> (opt. subst. by 1 or more halo)
G15	= phenylene (opt. subst. by (1-3) G12)
G16	= pyridyl (opt. subst. by (1-3) G12)
G21	= 274-2 267-5



Patent location: claim 1  
 Note: or salts  
 Note: substitution is restricted

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 26 OF 35 MARPAT COPYRIGHT 2009 ACS ON STN  
 ACCESSION NUMBER: 135:272754 MARPAT Full-text  
 TITLE: Preparation of insecticidal anthranilamides  
 INVENTOR(S): Lahm, George P.; Myers, Brian J.; Selby, Thomas P.; Stevenson, Thomas M.  
 PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA  
 SOURCE: PCT Int. Appl., 211 pp.  
 CODEN: PIXKD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070671	A2	20010927	WO 2001-US9338	20010320
WO 2001070671	A3	20020214		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2400167	A1	20010927	CA 2001-2400167	20010320
AU 2001050946	A	20011003	AU 2001-50946	20010320
EP 1265850	A2	20021218	EP 2001-924277	20010320
EP 1265850	B1	20070103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009575	A	20030204	BR 2001-9757	20010320
HU 2003000263	A2	20030628	HU 2003-263	20010320
HU 2003000263	A3	20030728		
JP 2003528070	T	20030924	JP 2001-568883	20010320
NZ 520728	A	20030926	NZ 2001-520728	20010320
AU 2001250946	B2	20050908	AU 2001-250946	20010320
RU 2278852	C2	20060627	RU 2002-128150	20010320
EP 1700845	A1	20060913	EP 2006-12017	20010320
EP 1700845	B1	20081210		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
AT 350365	T	20070115	AT 2001-924277	20010320
ES 2278738	T3	20070816	ES 2001-924277	20010320
AT 417033	T	20081215	AT 2006-12017	20010320
ZA 2002006148	A	20031105	ZA 2002-6148	20020801
IN 2002MN01167	A	20050304	IN 2002-MN1167	20020827
US 20030229050	A1	20031211	US 2002-220450	20020828
US 6747047	B2	20040608		
KR 741632	B1	20070723	KR 2002-712474	20020919
MX 2002009207	A	20030523	MX 2002-9207	20020920
US 20040142984	A1	20040722	US 2003-698643	20031031

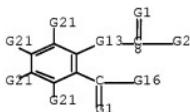
US 6995178	B2	20060207	US 2005-199830	20050809
US 20060079561	A1	20060413		
US 7338978	B2	20080304		

## PRIORITY APPLN. INFO.:

US 2000-191242P	20000322
US 2000-220232P	20000724
US 2000-254635P	20001211
US 2001-262015P	20010117
EP 2001-924277	20010320
US 2001-9338	20010320
WO 2001-US9338	20010320
US 2002-220450	20020828
US 2003-698643	20031031

AB The title compds. [I; A, B = O, S; J = substituted Ph, naphthyl, (un)substituted 5-6 membered heteroarom., aromatic 8-10 membered fused heterobicyclic ring; n = 1-4; R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, alkoxy, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl, halo, etc.], useful for controlling arthropods, were prepared E.g., a multi-step synthesis of II which showed excellent level of plant protection (10% or less feeding damage) in test with diamondback moth (DBM), was given.

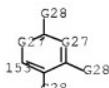
MSTR 1



G1 = O  
G2 = 151

<sup>1</sup>G29—<sup>1</sup>G25

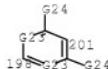
G13 = NH  
G23 = CH (opt. substd.)  
G25 = 153



G27 = 162 / N

<sup>1</sup>Ez—G28

G28 = alkyl <containing 1-4 C>  
 (opt. substd. by 1 or more G4)  
 G29 = 198-8 201-152



Patent location: claim 1  
 Note: substitution is restricted  
 Note: additional ring formation also claimed  
 Note: or N-oxide or agriculturally suitable salts

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 27 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 135:5437 MARPAT Full-text  
 TITLE: Preparation and formulation of vitamin D analogs for pharmaceutical and cosmetic use  
 INVENTOR(S): Bernardon, Jean-michel; Biadatti, Thibaud  
 PATENT ASSIGNEE(S): Galderma Research & Development, S.N.C., Fr.  
 SOURCE: PCT Int. Appl., 79 pp.  
 CODEN: PIXHD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001038303	A2	20010531	WO 2000-FR3249	20001122
WO 2001038303	A3	20020117		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2801305	A1	20010525	FR 1999-14781	19991124
FR 2801305	B1	20021206		
CA 2392165	A1	20010531	CA 2000-2392165	20001122
CA 2392165	C	20080826		
AU 2001025222	A	20010604	AU 2001-25222	20001122
AU 767399	B2	20031106		
BR 2000015924	A	20020806	BR 2000-15924	20001122
EP 1235777	A2	20020904	EP 2000-988868	20001122
EP 1235777	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

JP 2003514892	T 20030422	JP 2001-539859	20001122
JP 3822106	B2 20060913		
AT 269289	T 20040715	AT 2000-988868	20001122
RU 2237651	C2 20041010	RU 2002-116690	20001122
PT 1235777	T 20041130	PT 2000-988868	20001122
ES 2223642	T3 20050301	ES 2000-988868	20001122
CN 1616452	A 20050518	CN 2004-10078984	20001122
CN 1213980	C 20050810	CN 2000-818458	20001122
ZA 2002003475	A 20030401	ZA 2002-3475	20020502
MX 2002005175	A 20030128	MX 2002-5175	20020523
IN 2002DN00619	A 20061229	IN 2002-DN619	20020619
US 6831106	B1 20041214	US 2002-130941	20020905

## PRIORITY APPLN. INFO.:

FR 1999-14781	19991124
WO 2000-FR3249	20001122

AB Vitamin D analogs, such as I [R1 = H, Me, hydroxyalkyl, acyloxyalkyl, etc.; R2, R3 = hydroxyalkyl, acyloxyalkyl, etc.; X, Y = connecting group, such as alkylene, alkenylene, alkynylene, phenylene, heteroarylene, etc.; Ar1, Ar2 = aromatic connecting group, such as phenylene or heteroarylene], were prepared as vitamin D receptor agonists for cosmetic and pharmaceutical use in the treatment of dermatol. and immunol. conditions, such as inflammation, acne, psoriasis, seborrhea, transplant rejection, cancer, etc. Thus, benzenedimethanol II was prepared in a multistep synthetic sequence starting from 1,2,4-benzenetricarboxylic anhydride, 3-bromophenol, and Et 4-iodobenzoate. The prepared vitamin D analogs were tested for vitamin D receptor agonist activity.

MSTR 1

G6—G1—G2—G7—G11—G13—G2—G14

G2 = phenylene (opt. subst. by (1) G3)  
 G7 = 32-3 31-5



G8 = NH  
 G11 = p-C6H4 (opt. subst. by (1-3) G25)  
 G13 = 259-5 256-228



G14 = 41

G17 G17  
41  
616

Patent location: claim 1  
 Note: and salts  
 Stereochemistry: and optical and geometric isomers

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 28 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 134:252334 MARPAT Full-text  
 TITLE: Preparation of 1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as inhibitors of factor Xa  
 INVENTOR(S): Zhu, Bing-Yan; Jia, Zhaozhong Jon; Huang, Wenrong; Song, Yonghong; Kanter, James; Scarborough, Robert M.  
 PATENT ASSIGNEE(S): Cor Therapeutics Inc., USA  
 SOURCE: PCT Int. Appl., 314 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

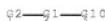
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019798	A2	20010322	WO 2000-US25195	20000915
WO 2001019798	A3	20011025		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2385589	A1	20010322	CA 2000-2385589	20000915
AU 2000074866	A	20010417	AU 2000-74866	20000915
AU 781880	B2	20050616		
EP 1216231	A2	20020626	EP 2000-963451	20000915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000014078	A	20021231	BR 2000-14078	20000915
TR 200201413	T2	20030221	TR 2002-1413	20000915
JP 2003509412	T	20030311	JP 2001-523378	20000915
HU 2002003954	A2	20030328	HU 2002-3954	20000915
NZ 517828	A	20031031	NZ 2000-517828	20000915
NO 2002001230	A	20020521	NO 2002-1230	20020312
MX 2002002762	A	20031014	MX 2002-2762	20020314
ZA 2002002117	A	20031215	ZA 2002-2117	20020314
ZA 2002002116	A	20040210	ZA 2002-2116	20020314
ZA 2003006488	A	20040216	ZA 2003-6488	20030820
ZA 2003006490	A	20040323	ZA 2003-6490	20030820
US 20060020039	A1	20060126	US 2005-35767	20050114
US 7285565	B2	20071023		

PRIORITY APPLN. INFO.:

US 1999-154332P 19990917  
 US 2000-185746P 20000229  
 US 2000-663420 20000915  
 WO 2000-US25195 20000915

AB The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un)substituted Ph; Q = a direct link, alkylene, CO, etc.; D = a direct link, (un)phenylene, etc.; E = a direct link, (CH<sub>2</sub>)<sub>q</sub>CO, SO<sub>2</sub>, etc.; q = 0-2; G = (un)substituted Ph, (un)substituted 5-6 membered (non)aromatic heterocyclic a ring containing 1-4 heteroatoms selected from N, O and S; J = a direct link, SO<sub>2</sub>, CO, etc.; X = (un)substituted Ph, naphthyl, heteroaryl] having activity against mammalian factor Xa, and therefore useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared E.g., a 3-step synthesis of the pyrazolecarboxamide I was described.

MSTR 1



G1 = phenylene (opt. substd.)  
 G2 = 4



G8 = 174-2 173-5 / 175-2 177-5 / 214-2 215-5



G10 = 19



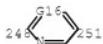
G11 = 25-2 27-20



G13 = C(O)  
 G14 = (0-2) CH<sub>2</sub>  
 G15 = Ph (opt. substd.)  
 G16 = CH (opt. substd.)  
 G23 = 165

165-021

G28 = 248-2 251-215



Patent location: claim 1  
 Note: substitution is restricted  
 Note: additional ring formation also claimed  
 Note: additional combinations of groups in G8 and G9 also claimed  
 Note: or pharmaceutically acceptable salts, hydrates,  
 solvates and prodrug derivatives  
 Stereochemistry: or pharmaceutically acceptable isomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 29 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 133:58815 MARPAT Full-text  
 TITLE: Preparation of N-arylcarbonyl-8-(pyrrolopyrazinyl)pyrroloquinolines and analogs as 5-HT receptor ligands  
 INVENTOR(S): Gaster, Laramie Mary; Heightman, Tom Daniel  
 PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK  
 SOURCE: PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035919	A2	20000622	WO 1999-EP9564	19991203
WO 2000035919	A3	20001026		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2355234	A1	20000622	CA 1999-2355234	19991203
EP 1140946	A2	20011010	EP 1999-964526	19991203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101764	T2	20011022	TR 2001-1764	19991203
BR 9916307	A	20020115	BR 1999-16307	19991203
HU 2001004662	A2	20020429	HU 2001-4662	19991203

JP 2002532501	T 20021002	JP 2000-588178	19991203
NO 2001003003	A 20010725	NO 2001-3003	20010615
MX 2001006243	A 20020208	MX 2001-6243	20010618

PRIORITY APPLN. INFO.:

AB Title compds. [I; R = LRa; L = YCOZ2, COZ2, Z2CO; Ra = (un)substituted cycloalkyl, -heterocyclyl, -Ph, R1Z3Z4, etc.; R1 = (un)substituted cycloalkyl, -heterocyclyl, -Ph, etc.; R3 = H; Y = (alkyl)imino, O, CH2, OCH2, CH:CH; Z = N, C, CH; Z1 = (CH2)1-3; Z2 = NH, CHR2; R2 = H; R2R3 = atoms to complete a ring; Z3 = bond, O, (alkyl)imino, CO, etc.; Z4 = (un)substituted heterocyclylene, -phenylene, etc.; dashed line = optional addnl. bond] were prepared Thus, (S)-(-)-I (RR3 = NR4CH2CH2, Z = N, Z1 = CH2, dashed line = null)(II; R4 = H)(prepn, given) was N-acylated by 4-quinolinecarboxylic acid to give II (R4 = quinoline-4-carbonyl). Data for biol. activity of I were given.

MSTR 1



G4 = 59



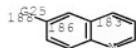
G19 = phenylene (opt. substn.)  
G20 = 84



G22 = 64



G24 = 188-1 183-3



G25 = 189-1 191-186

189-1 191-186

G26 = bond

G27 = NH

Patent location:

claim 1

Note:

also incorporates claim 7

Note:

substitution is restricted

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 30 OF 35 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 131:1444046 MARPAT Full-text

TITLE: Preparation of PPAR-GAMMA modulators on treatment of type II diabetes and obesity

INVENTOR(S): De La Brouse-Elwood, Fabienne; Jaen, Juan C.; McGee, Lawrence R.; Miao, Shi-Chang; Rubenstein, Steven Marc; Chen, Jin-Long; Cushing, Timothy D.; Flygare, John A.; Houze, Jonathan B.; Kearney, Patrick C.

PATENT ASSIGNEE(S): Tularik Inc., USA

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

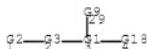
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938845	A1	19990805	WO 1999-US1147	19990120
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RM: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318731	A1	19990805	CA 1999-2318731	19990120
AU 9921176	A	19990816	AU 1999-21176	19990120
AU 759255	B2	20030410		
EP 1053227	A1	20001122	EP 1999-901492	19990120
EP 1053227	B1	20081105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
US 6200995	B1	20010313	US 1999-234327	19990120
JP 2002501945	T	20020122	JP 2000-530082	19990120
AT 413386	T	20081115	AT 1999-901492	19990120
US 20010027200	A1	20011004	US 2000-741415	20001219
US 6620827	B2	20030916		
US 20020169185	A1	20021114	US 2001-894980	20010627
US 6583157	B2	20030624		
US 20030088103	A1	20030508	US 2002-123298	20020415
US 7439242	B2	20081021		

PRIORITY APPLN. INFO.:

US 1998-73042P	19980129
US 1999-234327	19990120
WO 1999-US1147	19990120
US 2000-214810P	20000628
US 2000-741415	20001219

AB Title compds. [I; Ar1 is aryl; X is a divalent linkage of alkylene, alkylenoxy, -O-, -C(O)-, -N(R11)-, -N(R11)C(O)-, -S(O)k- and a single bond, in which R11 is hydrogen, alkyl, heteroalkyl, and arylalkyl and the subscript k is an integer of from 0 to 2; Y is a divalent linkage selected from alkylene, -O-, -C(O)-, -N(R12)-S(O)m-, -N(R13)-S(O)m-N(R13)-, -N(R12)C(O)-, -S(O)n-, a single bond, and combinations thereof in which R12 and R13 are members independently selected from the group consisting of hydrogen, alkyl, heteroalkyl and arylalkyl; and the subscripts m and n independently integers of from 0 to 2; R1 represents a member selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl, arylalkyl, -CO2R14, -CO(R)14, -C(O)NR15R16, -S(O)p-R14, -S(O)q-NR15R16, -O-C(O)-OR17, -O-C(O)-NR15R16, -N(R14)-C(O)-NR15R16, -N(R14)-C(O)-R17 and -N(R14)-C(O)-OR17, in which R14 is hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, and R15 and R16 are independently of hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, or taken together with the nitrogen to which each is attached from a 5-, 6- or 7-membered ring; R17 R2 are independently of alkyl, heteroalkyl, aryl, arylalkyl; p = 0-3; q = 1-2] and pharmaceutical compns. containing the compds. described above for the treatment of conditions such as type II diabetes and obesity. Thus, the title compound II was prepared

MSTR 1B



G1 = 80-2 83-4 79-29



G2 = 234



G3 = 14-1 15-3



G6 = NH  
 G18 = pyridyl (opt. substd. by (1-3) G22)  
 G22 = alkyl <containing 1-8 C>  
 Patent location: claim 1  
 Note: substitution is restricted

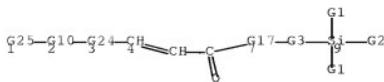
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 31 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 129:176093 MARPAT Full-text  
 TITLE: Photocrosslinkable silane derivatives and their use  
 INVENTOR(S): Buchecker, Richard; Marck, Guy; Seiberle, Hubert  
 PATENT ASSIGNEE(S): Rolic A.-G., Switz.  
 SOURCE: Eur. Pat. Appl., 19 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

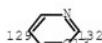
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 857728	A2	19980812	EP 1998-810061	19980129
EP 857728	A3	19990616		
EP 857728	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6277502	B1	20010821	US 1998-16376	19980130
JP 10324690	A	19981208	JP 1998-23571	19980204
JP 4205195	B2	20090107		
SG 90026	A1	20020723	SG 1998-241	19980204
CN 1195015	A	19981007	CN 1998-107099	19980205
CN 1213053	C	20050803		
HK 1010884	A1	20030613	HK 1998-112145	19981120
PRIORITY APPLN. INFO.:			EP 1997-101757	19970205

AB The silanes, useful in the preparation of orientation layers for liquid crystals and in optical elements, have the structure Q[ZY1]m[Z2Y2]nZ3CH:COZ(CH2)r[L(CH2)s]pSiX1X2X3 [L = O, CO2, O2C, NR, NRCO, CONR, NRCO2, O2NR, NRCONR, CH:CH, C.tplbond.C; Q = H, F, Cl, CN, NO2, (un)substituted (un)interrupted C1-20 alkyl; R = H, lower alkyl; X1 = alkyl, alkoxy, halo; X2, X3 = alkoxy, halo; Y1, Y2 = (CH2)t, O, CO, CO2, O2C, NR, NRCO, CONR, (CH2)uO, (CH2)uNR, NR(CH2)u; Z = O, NR; Z1 = (un)substituted phenylene, 2,5-pyridinediyl, 2,5-pyrimidinediyl, 1,3-dioxane-3,5-diyl, 1,4-cyclohexanediyl, 1,4-piperazinediyl, 1,4-piperazinediyl; Z2 = (un)substituted phenylene, 1,4- or 2,6-naphthylene, 2,4- or 2,5- or 2,6-pyridinediyl, 2,5- or 3,5-pyrimidinediyl, 2,5-furandiyI, 2,5-thiophenediyl; m, n, p = 0, 1; r, s = 1-20; r + s ≤ 25; t = 1-4; u = 1-3]. Thus, (E)-3,4-dimethoxycinnamic acid reacted with Cl(CH2)6OH to give the 6-hydroxyhexyl ester, which was treated with (EtO)3Si(CH2)3NCO to form the carbamate. A PrOH solution of the carbamate was spread on a glass plate, dried 30 min at 130°, 2 such plates in parallel were exposed to polarized UV radiation for 3 min, and the space between was filled with a liquid crystal mixture

MSTR 1



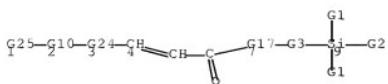
G10 = 33-1 34-3 / 115-1 118-3

3<sup>0</sup><sub>11</sub>-3<sup>2</sup><sub>15</sub> 1<sup>0</sup><sub>20</sub>-1<sup>2</sup><sub>21</sub>-1<sup>2</sup><sub>22</sub>-1<sup>2</sup><sub>23</sub>G13 = CH  
G15 = 107-33 108-3 / 109-33 110-31<sup>0</sup><sub>18</sub>-1<sup>2</sup><sub>17</sub> 1<sup>0</sup><sub>17</sub>-1<sup>2</sup><sub>18</sub>G17 = NH  
G18 = C(O)  
G20 = 129-1 132-116

G21 = 188-115 189-117 / 190-115 191-117

1<sup>0</sup><sub>18</sub>-1<sup>2</sup><sub>17</sub> 1<sup>0</sup><sub>17</sub>-1<sup>2</sup><sub>18</sub>G22 = phenylene (opt. substd. by 1 or more G12)  
G23 = 306-117 307-3 / 308-117 309-33<sup>0</sup><sub>18</sub>-3<sup>2</sup><sub>17</sub> 3<sup>0</sup><sub>17</sub>-3<sup>2</sup><sub>18</sub>G24 = phenylene (opt. substd. by 1 or more G12)  
G25 = carbon chain <containing 1 or more C,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd. by 1 or more G26)Patent location: claim 1  
Note: additional interruptions of Ak in G25 also claimed

MSTR 1

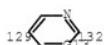


G10 = 33-1 34-3 / 115-1 118-3

3911-3415 1980-T161-T122-T83

G13 = CH  
G15 = 107-33 108-3 / 109-33 110-3

1878-T887 1897-T918

G17 = NH  
G18 = C(O)  
G20 = 129-1 132-116

G21 = 188-115 189-117 / 190-115 191-117

1888-T897 1907-T918

G22 = phenylene (opt. substd. by 1 or more G12)  
G23 = 306-117 307-3 / 308-117 309-3

3888-T897 3897-T898

G24 = phenylene (opt. substd. by 1 or more G12)  
G25 = carbon chain <containing 1 or more C,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd. by 1 or more G26)

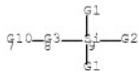
Patent location:

claim 1

Note:

additional interruptions of Ak in G25 also claimed

MSTR 2



G10 = 35

~~3G25-3G24-3G11~~

G11 = 36-34 39-8 / 134-34 135-8

~~3G21-3G20-3G15-3G12 1G43-1G42~~

G12 = phenylene (opt. substd. by 1 or more G13)

G14 = CH

G15 = 80-37 81-39 / 82-37 83-39

~~8G18-8G17 8G17-8G18~~

G17 = NH

G18 = C(O)

G20 = phenylene (opt. substd. by 1 or more G13)

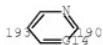
G21 = 128-34 129-37 / 130-34 131-37

~~1G58-1G57 1G57-1G58~~

G23 = 176-34 177-135 / 178-34 179-135

~~1G68-1G67 1G67-1G68~~

G24 = 193-33 190-35

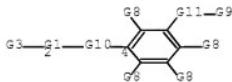


G25 = alkyl <containing 1-6 C>  
(opt. substd. by 1 or more F)  
Patent location: claim 6

L38 ANSWER 32 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 122:9879 MARPAT [Full-text](#)  
TITLE: Preparation of pyridine compounds.  
INVENTOR(S): Mitchell, William Leonard; Clitherow, John Watson  
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK  
SOURCE: Brit. UK Pat. Appl., 54 pp.  
CODEN: BAXXDU  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2276163	A	19940921	GB 1993-5509	19930317
PRIORITY APPLN. INFO.:			GB 1993-5509	19930317
AB	Title compds. I (R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2, R3 = H, halo, C1-6 alkyl, HO-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C1-6 alkoxy, HO, NC, O2N, R6O2C, R6CO, R6R7NCO, etc., wherein R6, R7 = H, C1-4 alkyl, R6R7N = 5-6-membered heterocyclyl; R4, R5 = H, halo, HO, C1-6 alkoxy, C1-6 alkyl; R8, R9 = R6; X = CONH, NHCO, CH2NH, NHCH2; p = 2-4) or a salt or solvate thereof, as 5-HT1D antagonists useful in treatment of CNS disorders, endocrine disorders and sexual dysfunction (no data), are prepared (E)-3-(2-cyanoethenyl)-4-methoxy-N-[4-(4-pyridinyl)phenyl]benzamide (preparation given) in DMF, EtOH and ethanolic dimethylamine was added to pre-reduced palladium oxide/C to give the title compound II.			

MSTR 1



G1 = phenylene (opt. substd. by (1) G2)  
G3 = pyridyl (opt. substd. by (1-2) G4)  
G4 = alkyl <containing 1-6 C> (opt. substd. by OH)  
G10 = 22-2 23-4

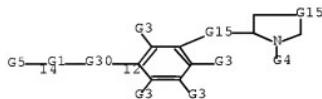
2C102N<sub>2</sub>H

Derivative: or physiologically acceptable salt of solvate  
 Patent location: claim 1

L38 ANSWER 33 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 121:300771 MARPAT [Full-text](#)  
 TITLE: Preparation of piperidinyl anilines and -benzanilides  
 INVENTOR(S): Oxford, Alexander William; Clitheroe, John Watson  
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK  
 SOURCE: Brit. UK Pat. Appl., 42 pp.  
 CODEN: BAXXDU  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2276162	A	19940921	GB 1993-5469	19930317
PRIORITY APPLN. INFO.:			GB 1993-5469	19930317
AB	Title compds. I (R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2, R3 = H, halo, HO, C1-6 alkoxy, C1-6 alkyl; R4 = H, C1-6 alkyl; Ar = (substituted) Ph, oxadiazolyl, imidazolylmethyl, dioxolanyl, thioxolanyl, (substituted)pyridinyl; X = CONH, NHCO, NHCH2, CH2NH; p, q = 1-3) or a salt or solvate thereof, 5-HT1D antagonists useful in treatment of CNS or endocrine disorders and sexual dysfunction (no data), are prepared 4-Methoxy-3-[2-(1-methyl-2-piperidinyl)ethyl]benzoic acid, HI (preparation given) in pyridine was reacted with 4'-amino-[1,1'-biphenyl]-4-sulfonamide to give the free base with was treated with oxalic acid to give the title compound II.			

MSTR 1



G1 = phenylene (opt. substd. by (1) G2)  
 G5 = pyridyl (opt. substd. by (1-2) G29)  
 G29 = alkyl <containing 1-6 C> (opt. substd. by OH)  
 G30 = 127-14 128-12

$\begin{smallmatrix} G31 \\ 1 \end{smallmatrix} \begin{smallmatrix} T \\ 2 \end{smallmatrix} \begin{smallmatrix} N \\ 2 \end{smallmatrix}$

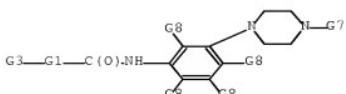
G31 = C(O)  
 Derivative: or physiologically acceptable salts or solvates  
 Patent location: claim 1  
 Note: substitution is restricted

L38 ANSWER 34 OF 35 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 119:49414 MARPAT Full-text  
 TITLE: Preparation of benzanilide derivatives as 5-HT<sub>1D</sub>  
 antagonists  
 INVENTOR(S): Oxford, Alexander William; Mitchell, William Leonard;  
 Bradshaw, John; Clitheroe, John Watson  
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK  
 SOURCE: Eur. Pat. Appl., 36 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 533267	A1	19930324	EP 1992-202805	19920914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
WO 9306084	A1	19930401	WO 1992-EP2136	19920914
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9225687	A	19930427	AU 1992-25687	19920914
HU 70516	A2	19951030	HU 1994-759	19920914
CA 2078507	A1	19930319	CA 1992-2078507	19920917
AU 9224528	A	19930325	AU 1992-24528	19920917
CN 1073430	A	19930623	CN 1992-111661	19920917
ZA 9207106	A	19940317	ZA 1992-7106	19920917
JP 06107637	A	19940419	JP 1992-273660	19920917
US 5358948	A	19941025	US 1992-946099	19920917
CN 1089944	A	19940727	CN 1993-100710	19930109
FI 9401261	A	19940317	FI 1994-1261	19940317
NO 9400974	A	19940317	NO 1994-974	19940317
PRIORITY APPLN. INFO.:			GB 1991-19932	19910918
			WO 1992-EP2136	19920914

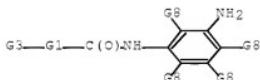
AB Piperazinobenzanilides I [R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2 = pyridinyl group (un)substituted by one or two substituents selected from halo, C1-6 alkyl, hydroxy C1-6 alkyl, C1-6alkoxyC1-6 alkyl, C1-6 alkoxy, OH, -CN, NO<sub>2</sub>, CO<sub>2</sub>R<sub>6</sub>, COR<sub>6</sub>, CONR<sub>6</sub>R<sub>7</sub>, (CH<sub>2</sub>)<sub>m</sub>O(O)C1-4 alkyl (R<sub>6</sub>, R<sub>7</sub> = H, C1-6 alkyl, m = integers 1-3); R3 = certain 4-substituted piperazino derivs.; R4, R5 (same or different) each independently = H, halo, OH, C1-6 alkoxy, C1-6 alkyl], and their physiol. acceptable salts or solvates, were prepared Compsd. I exhibit 5-HT<sub>1D</sub> antagonist activity, and are claimed for treatment or prophylaxis of depression and other central nervous system disorders and for Parkinson's disease. Pharmaceutical compns. comprising compds. I are described.

MSTR 1



G1 = phenylene (opt. subst. by (1) G2)  
 G3 = pyridyl (opt. subst. by (1-2) G4)  
 G4 = alkyl <containing 1-6 C> (opt. subst. by OH)  
 Derivative: or physiologically acceptable salts or solvates  
 Patent location: claim 1

MSTR 4



G1 = phenylene (opt. subst. by (1) G2)  
 G3 = pyridyl (opt. subst. by (1-2) G4)  
 G4 = alkyl <containing 1-6 C> (opt. subst. by OH)  
 Patent location: claim 13

L38 ANSWER 35 OF 35 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 117:7671 MARPAT Full-text  
 TITLE: Preparation of bisaryl amide and urea containing heterocyclyl as antagonists of platelet-activating factor

INVENTOR(S): Wissner, Allan  
 PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 47 pp.  
 CODEN: USXXAM

DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5077409	A	19911231	US 1990-519523	19900504
US 5231182	A	19930727	US 1991-770847	19911004
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S): CASREACT 117:7671				
AB Title compds. I [X = (CH <sub>2</sub> ) <sub>n</sub> CONH(CH <sub>2</sub> ) <sub>p</sub> , OCH <sub>2</sub> CONH(CH <sub>2</sub> ) <sub>p</sub> , NHCONH(CH <sub>2</sub> ) <sub>p</sub> , (CH <sub>2</sub> ) <sub>n</sub> NHCO(CH <sub>2</sub> ) <sub>p</sub> , (CH <sub>2</sub> ) <sub>m</sub> N(COR <sub>3</sub> )(CH <sub>2</sub> ) <sub>p</sub> where m = 0-3; n = 0-2; p = 0, 1; R <sub>3</sub> = Cl-4 alkyl, Cl-4 alkoxy, Cl-4 alkylamino; R <sub>1</sub> = Cl-25 alkyl, C <sub>2</sub> -25 alkenyl, Cl-25 alkoxy, Cl-25 alkylthio, C <sub>2</sub> -25 alkenyloxy, (substituted) Ph, substituted PhO, H, halo, F3C, cyano, O <sub>2</sub> N, ester, amide, CHO, etc.; R <sub>2</sub> = H, Cl-5 alkyl, Cl-5 alkoxy, halo; Y = (substituted) heterocyclyl], are prepared A mixture of N-[4-(bromomethyl)phenyl]-4-(tetradecyloxy)benzenacetamide (preparation given) and 5-methylthiazole in MePh was refluxed under Ar, then left stand overnight at ambient temperature to give I (X = CH <sub>2</sub> CONH, R <sub>1</sub> = 4-Me(CH <sub>2</sub> ) <sub>13</sub> O, R <sub>2</sub> = H, Y = 3-thiazolium) (II). II at 0.0001 M inhibited 100% platelet-activating factor aggregation in rabbit platelet-rich plasma.				

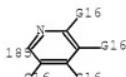
MSTR 6D

G5—~~G4~~—G13—~~G8~~—G15

G4 = phenylene (opt. substd.)  
G8 = phenylene (opt. substd. by 1 or more G9)  
G13 = 96-81 97-5

~~G22 4-7~~ G23

G15 = 185



G16 = alkyl <containing 1-6 C>  
G23 = C(O)  
G24 = NH  
Patent location: disclosure

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## Search History

L1           1 SEA SPE=ON ABB=ON PLU=ON US2007-573945/APPS

FILE 'REGISTRY' ENTERED AT 20:30:27 ON 18 MAR 2009

L2       60 SEA SPE=ON ABB=ON PLU=ON (111272-66-3/B1 OR 294654-92-5/B1  
          OR 295347-89-6/B1 OR 301813-87-6/B1 OR 308299-25-4/B1 OR  
          308299-50-5/B1 OR 310452-52-9/B1 OR 310452-58-5/B1 OR 312592-23  
          -7/B1 OR 312603-57-9/B1 OR 312755-58-1/B1 OR 313371-75-4/B1 OR  
          313394-74-0/B1 OR 313561-16-9/B1 OR 313649-99-9/B1 OR 313668-34  
          -7/B1 OR 313956-11-5/B1 OR 315703-50-5/B1 OR 315703-54-9/B1 OR  
          315704-14-4/B1 OR 320741-88-6/B1 OR 325485-67-4/B1 OR 329197-29  
          -7/B1 OR 333746-68-2/B1 OR 333746-73-9/B1 OR 3373-01-1/B1 OR  
          347366-68-1/B1 OR 35077-88-4/B1 OR 355402-32-3/B1 OR 357613-68-  
          4/B1 OR 361174-23-4/B1 OR 361175-17-9/B1 OR 370093-74-6/B1 OR  
          371137-57-4/B1 OR 374920-50-0/B1 OR 375839-96-6/B1 OR 379247-57  
          -1/B1 OR 381707-29-5/B1 OR 40300-93-4/B1 OR 421567-54-6/B1 OR  
          421573-27-5/B1 OR 422299-08-9/B1 OR 422300-55-8/B1 OR 431910-06  
          -4/B1 OR 431937-90-5/B1 OR 432019-28-8/B1 OR 439136-90-0/B1 OR  
          439946-97-1/B1 OR 440630-30-8/B1 OR 440631-05-0/B1 OR 440631-47  
          -0/B1 OR 440637-49-0/B1 OR 441731-52-8/B1 OR 441742-93-4/B1 OR  
          442648-06-8/B1 OR 442648-78-4/B1 OR 442648-80-8/B1 OR 80284-51-  
          1/B1 OR 849613-32-7/B1 OR 849613-33-8/B1)

L3       57 SEA SPE=ON ABB=ON PLU=ON L2 AND NR>3

L4       54 SEA SPE=ON ABB=ON PLU=ON L3 AND N>=1

L5           STRUCTURE uploaded

L6       25 SEA SSS SAM L5

L7       1 SEA SPE=ON ABB=ON PLU=ON L6 AND L2

L8       787 SEA SSS FUL L5

L9       7 SEA SPE=ON ABB=ON PLU=ON L8 AND L2

FILE 'HCAPLUS' ENTERED AT 20:33:53 ON 18 MAR 2009

L10       1 SEA SPE=ON ABB=ON PLU=ON L9

L11       109 SEA SPE=ON ABB=ON PLU=ON BEACHY P?/AU

L12      57860 SEA SPE=ON ABB=ON PLU=ON CHEN J?/AU

L13       17 SEA SPE=ON ABB=ON PLU=ON TAIPALE A?/AU

L14       1 SEA SPE=ON ABB=ON PLU=ON (L11 OR L12 OR L13) AND L10

FILE 'WPIX' ENTERED AT 20:35:07 ON 18 MAR 2009

L15       32 SEA SSS SAM L5

FILE 'HCAPLUS' ENTERED AT 20:35:15 ON 18 MAR 2009

L16       47 SEA SPE=ON ABB=ON PLU=ON L8

FILE 'REGISTRY' ENTERED AT 20:37:32 ON 18 MAR 2009

L17           STRUCTURE uploaded

L18       9 SEA SUB=L8 SSS SAM L17

L19      243 SEA SUB=L8 SSS FUL L17

FILE 'HCAPLUS' ENTERED AT 20:38:15 ON 18 MAR 2009

L20       7 SEA SPE=ON ABB=ON PLU=ON L19

L21       2 SEA SPE=ON ABB=ON PLU=ON L20 AND (PRY<=2003 OR AY<=2003 OR  
          PY<=2003)

L22       1 SEA SPE=ON ABB=ON PLU=ON (L11 OR L12 OR L13) AND L21

FILE 'WPIX' ENTERED AT 20:40:20 ON 18 MAR 2009

L23       18 SEA SSS SAM L17

L24            178 SEA SSS FUL L17  
L25            6 SEA SPE=ON ABB=ON PLU=ON L24/DCR  
L26            1 SEA SPE=ON ABB=ON PLU=ON (L11 OR L12 OR L13) AND L25

FILE 'BEILSTEIN' ENTERED AT 20:41:06 ON 18 MAR 2009  
L27            0 SEA SSS SAM L17  
L28            0 SEA SSS FUL L17

FILE 'MARPAT' ENTERED AT 20:41:27 ON 18 MAR 2009  
L29            4 SEA SSS SAM L17  
L30            58 SEA SSS FUL L17  
L31            STRUCTURE UPLOADED  
L32            2 SEA SUB=L30 SSS SAM L31  
L33            35 SEA SUB=L30 SSS FUL L31

FILE 'HCAPLUS, WPIX' ENTERED AT 20:47:09 ON 18 MAR 2009  
L34            1 DUP REM L22 L26 (1 DUPLICATE REMOVED)

FILE 'HCAPLUS' ENTERED AT 20:47:30 ON 18 MAR 2009  
L35            1 SEA SPE=ON ABB=ON PLU=ON L21 NOT L22

FILE 'WPIX' ENTERED AT 20:47:47 ON 18 MAR 2009  
L36            5 SEA SPE=ON ABB=ON PLU=ON L25 NOT L26  
L37            0 SEA SPE=ON ABB=ON PLU=ON L36 AND (PRY<=2003 OR AY<=2003 OR PY<=2003)

FILE 'HCAPLUS, MARPAT' ENTERED AT 20:52:50 ON 18 MAR 2009  
L38            35 DUP REM L35 L37 L33 (1 DUPLICATE REMOVED)